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| NEWS | 2 | AUG 15 | CAOLD to be discontinued on December 31, 2008 |
| NEWS | 3 | OCT 07 | EPFULL enhanced with full implementation of EPC2000 |
| NEWS | 4 | OCT 07 | Multiple databases enhanced for more flexible patent number searching |
| NEWS | 5 | OCT 22 | Current-awareness alert (SDI) setup and editing enhanced |
| NEWS | 6 | OCT 22 | WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications |
| NEWS | 7 | OCT 24 | CHEMLIST enhanced with intermediate list of pre-registered REACH substances |
| NEWS | 8 | NOV 21 | CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present |
| NEWS | 9 | NOV 26 | MARPAT enhanced with FSORT command |
| NEWS | 10 | NOV 26 | MEDLINE year-end processing temporarily halts availability of new fully-indexed citations |
| NEWS | 11 | NOV 26 | CHEMSAFE now available on STN Easy |
| NEWS | 12 | NOV 26 | Two new SET commands increase convenience of STN searching |
| NEWS | 13 | DEC 01 | ChemPort single article sales feature unavailable |
| NEWS | 14 | DEC 12 | GBFULL now offers single source for full-text coverage of complete UK patent families |
| NEWS | 15 | DEC 17 | Fifty-one pharmaceutical ingredients added to PS |
| NEWS | 16 | JAN 06 | The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo |
| NEWS | 17 | JAN 07 | WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data |
| | | | |
| NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008. | | | |
| | | | |
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| NEWS LOGIN | Welcome Banner and News Items | | |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 | | |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 09:34:29 ON 13 JAN 2009

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.22

0.22

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STRUCTURE FILE UPDATES: 11 JAN 2009 HIGHEST RN 1093181-04-4

DICTIONARY FILE UPDATES: 11 JAN 2009 HIGHEST RN 1093181-04-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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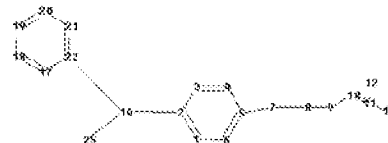
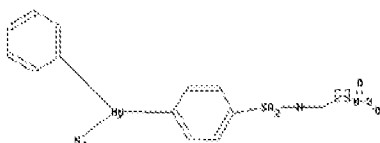
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chain nodes :

7 8 9 10 11 12 13 16 25

ring nodes :

1 2 3 4 5 6 17 18 19 20 21 22

chain bonds :

2-16 5-7 7-8 8-9 9-10 10-11 11-12 11-13 16-22 16-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22
 exact/norm bonds :
 2-16 7-8 8-9 11-12 11-13 16-22 16-25
 exact bonds :
 5-7 9-10 10-11
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22
 isolated ring systems :
 containing 1 : 17 :

G1:Cb,Cy,Hy

Match level :

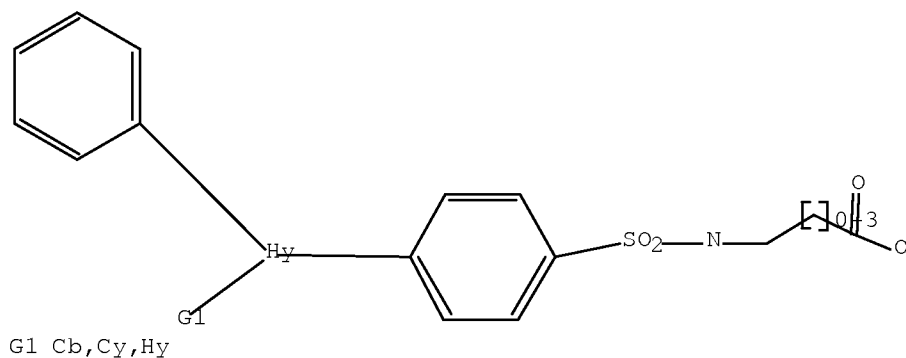
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
 11:CLASS 12:CLASS 13:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
 22:Atom 25:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.48

0.70

FILE 'CAPLUS' ENTERED AT 09:34:53 ON 13 JAN 2009

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FILE COVERS 1907 - 13 Jan 2009 VOL 150 ISS 3
FILE LAST UPDATED: 12 Jan 2009 (20090112/ED)

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Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> s L1 SSS Full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...
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FULL SEARCH INITIATED 09:34:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 77301 TO ITERATE

100.0% PROCESSED 77301 ITERATIONS 40 ANSWERS
SEARCH TIME: 00.00.05

L2 40 SEA SSS FUL L1

L3 9 L2

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 9 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:317603 CAPLUS Full-text
DOCUMENT NUMBER: 148:366630
TITLE: Optical recording medium
INVENTOR(S): Kubo, Masae; Kiyono, Kenjirou; Nakamura, Takeshi
PATENT ASSIGNEE(S): Mitsubishi Kagaku Media Co., Ltd., Japan
SOURCE: PCT Int. Appl., 90pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2008029856 | A1 | 20080313 | WO 2007-JP67336 | 20070905 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

JP 2008087476 A 20080417 JP 2007-230171 20070905

PRIORITY APPLN. INFO.: JP 2006-241738 A 20060906

OTHER SOURCE(S): MARPAT 148:366630

AB The recording medium (e.g., optical disk) includes a substrate on which a guide groove is formed, a layer having a light reflection function, a recording layer containing a predetd. porphyrin compound as a main content, and a cover layer capable of transmitting a recording/reproduction light coming into the recording layer which are layered in this order on the substrate. When the guide groove portion farther from the plane where the recording/reproduction light beam comes into the cover layer serves as the recording groove portion, the reflected light intensity at the recording pit portion formed in the recording groove portion is higher than the reflected light intensity when no recording is performed at the recording groove portion. The recording medium has an excellent jitter characteristic and preferable recording/reproduction characteristic and is capable of performing significantly high-d. recording.

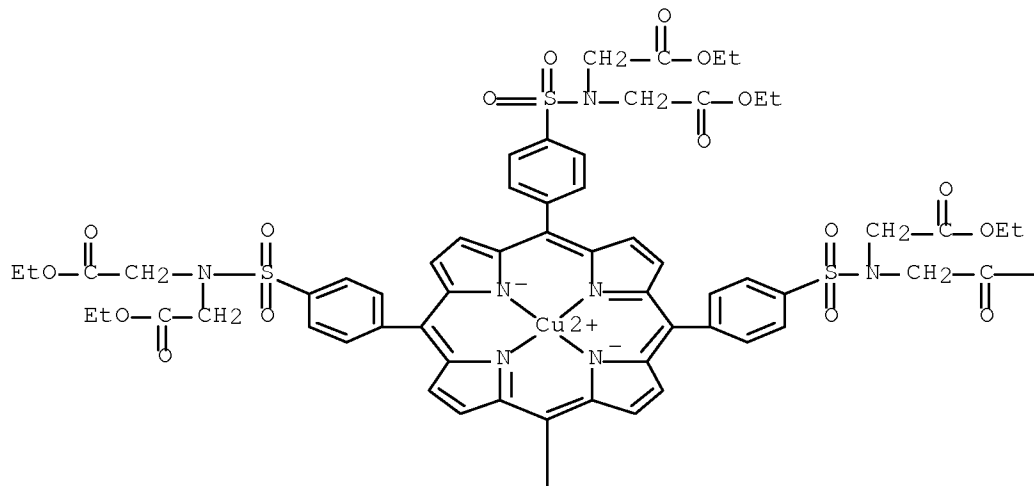
IT 1011719-27-9

RL: TEM (Technical or engineered material use); USES (Uses)
(high-d. optical disks with good jitter and recording/reproduction characteristics)

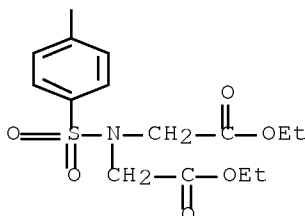
RN 1011719-27-9 CAPLUS

CN Copper, [[1,1',1'',1'''-tetraethyl
N,N',N'',N'''-(21H,23H-porphin-5,10,15,20-tetrayl-
κN21,κN22,κN23,κN24)tetrakis(4,1-
phenylenesulfonyl)]tetrakis[N-(2-ethoxy-2-oxoethyl)glycinato]](2-)]-,
(SP-4-1)- (CA INDEX NAME)

PAGE 1-A



—OEt



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:145961 CAPLUS Full-text

DOCUMENT NUMBER: 149:419563

TITLE: Self-aggregation of free base porphyrins in aqueous solution and in DMPC vesicles

AUTHOR(S): Andrade, Suzana M.; Teixeira, Raquel; Costa, Silvia M. B.; Sobral, Abilio J. F. N.

CORPORATE SOURCE: Centro de Quimica Estrutural, Complexo 1, Instituto Superior Tecnico, Technical University of Lisboa, Lisbon, 1049-001, Port.

SOURCE: Biophysical Chemistry (2008), 133(1-3), 1-10
CODEN: BICIAZ; ISSN: 0301-4622

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Free base porphyrin (PPhe), derivatized with aminosulfonyl groups linked to the aromatic amino acid phenylalanine at the meso-positions, was mixed with DMPC vesicles. The resulting interaction was studied by absorption, steady-state and transient state fluorescence, at different pHs. At pH = 2 to pH = 9, the aforementioned porphyrin predominates as an aggregated species, with a co-facial arrangement of the mols. taking into account the blue shift of the Soret band (414 nm for the monomer and 401 nm for the aggregate). Upon interaction with DMPC vesicles, the competing hydrophobic interactions with the bilayer destabilize the aggregated species in favor of monomer

incorporation. Fluorescence lifetimes also show that the long component assigned to the monomer contributes only 30% to the overall decay in solution (e.g., pH = 7.0) whereas in DMPC vesicles this contribution increases up to 85% independent of the solution pH, which confirms a location of the probe in an environment "protected" from free water. The picture changes completely in the case of TSPP, an anionic porphyrin which does not incorporate in DMPC vesicles. Remarkably, at pH = 2.5 all the exptl. point to the self-assembling of the porphyrin units in J-aggregates induced at the surface of the DMPC vesicle. In fact, upon removal of the aqueous solvent, we could define by fluorescence lifetime imaging microscopy (FLIM) regions where the fluorescence lifetime is that characteristic of the J-aggregate ($\langle\tau_F\rangle > 0.11$ ns).

IT 912562-51-7

RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); BIOL (Biological study); PROC (Process)

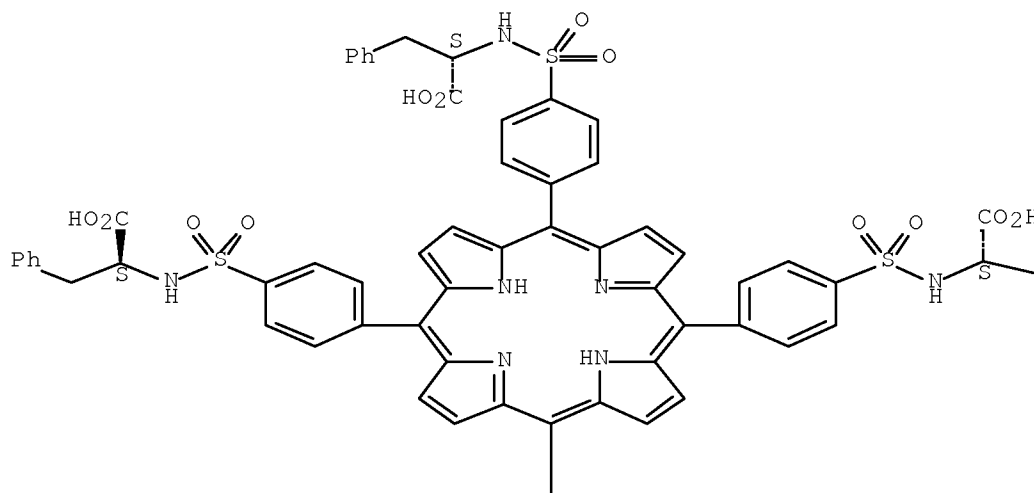
(self-aggregation of free base porphyrins in aqueous solution and in DMPC bilayer membranes)

RN 912562-51-7 CAPLUS

CN L-Phenylalanine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis- (CA INDEX NAME)

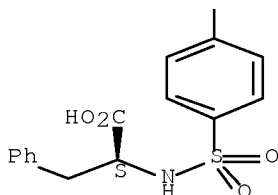
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:176323 CAPLUS Full-text

DOCUMENT NUMBER: 145:429214

TITLE: Self-association of free base porphyrins with aminoacid substituents in AOT reverse micelles
AUTHOR(S): Andrade, Suzana M.; Teixeira, Catarina; Togashi, Denisio M.; Costa, Silvia M. B.; Sobral, Abilio J. F. N.

CORPORATE SOURCE: Centro de Quimica Estrutural, Complexo 1, Instituto Superior Tecnico, Lisbon, 1049-001, Port.

SOURCE: Journal of Photochemistry and Photobiology, A: Chemistry (2006), 178(2-3), 225-235
CODEN: JPPCEJ; ISSN: 1010-6030

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The aggregation properties of aminosulfonyl porphyrins with aminoacid substituents: methionine with the acid function methylated, PMetCH₃; phenylalanine, PPhe; attached to the para positions of the meso phenyls of the porphyrin, was followed in organic solvents, water-dioxane mixts. and in reverse micelles of aerosol OT (AOT RM), using absorption, steady-state and time-resolved fluorescence. In AOT RM in the absence of water, both porphyrins are mainly present as monomers with the Soret band around 420 nm and with emission maxima around 649 and 717 nm. In the presence of water accounted for by parameter ω_0 , $\omega_0 = [H_2O]/[AOT]$, the solubility of both porphyrins is enhanced and followed by important spectral changes similar to those observed in the solvent mixts.: new maxima in absorption around 405 nm and in emission around 670 nm. A concomitant increase of the aggregates' contribution is found for PMetCH₃, whereas for PPhe the effect is much more pronounced until $\omega_0 = 10$, above which a de-aggregation process occurs, due to competition between intra- and inter-mol. interactions. The small resonant light scattering signals observed points to the existence of aggregates of small dimensions whose nature is discussed in terms of exciton theory.

IT 596102-93-1 912562-51-7

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); PROC (Process)

(self-association of free base porphyrins with aminoacid substituents in AOT reverse micelles)

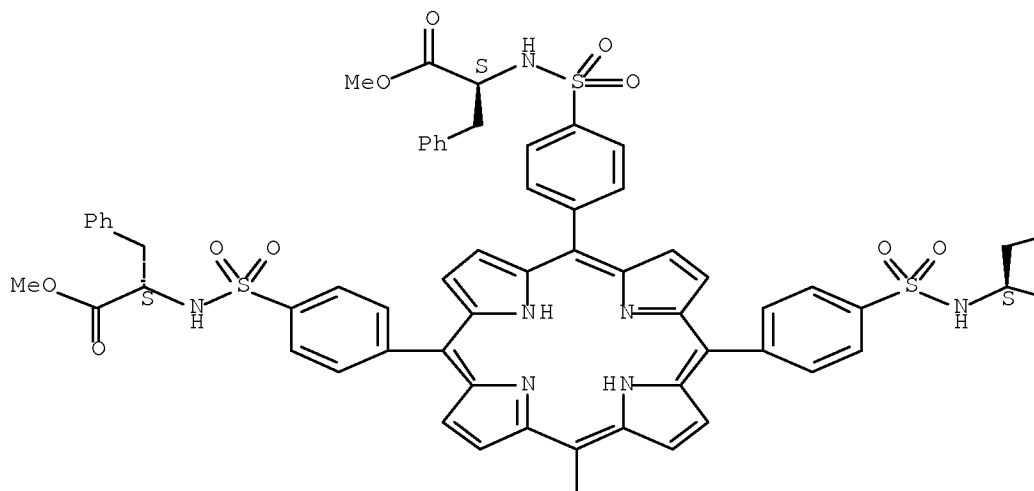
RN 596102-93-1 CAPLUS

CN L-Phenylalanine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis-, tetramethyl ester (9CI)

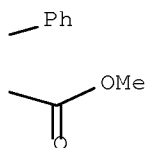
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Absolute stereochemistry.

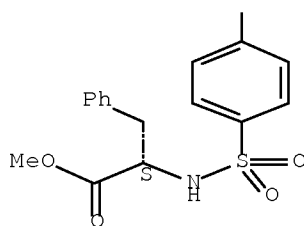
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PAGE 1-B



PAGE 2-A

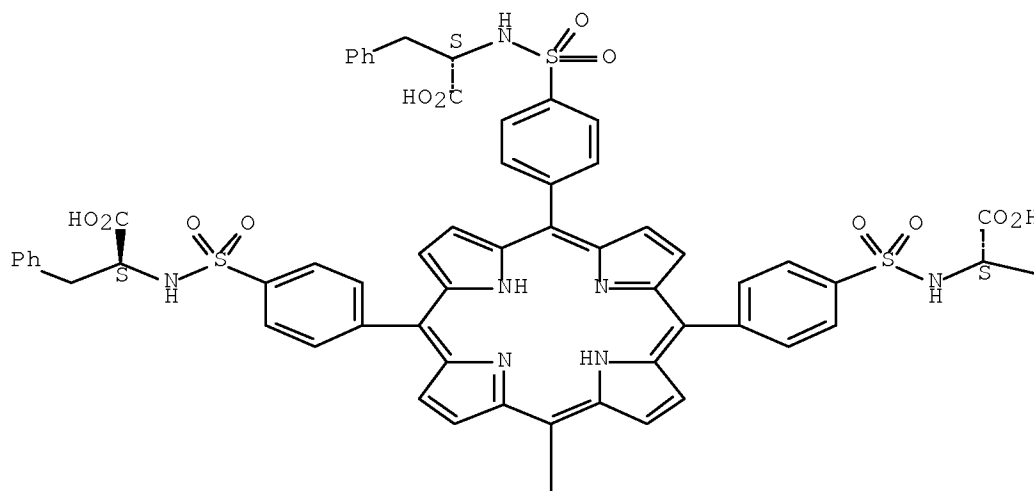


RN 912562-51-7 CAPLUS

CN L-Phenylalanine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis- (CA INDEX NAME)

Absolute stereochemistry.

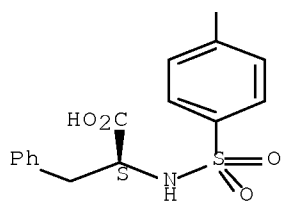
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PAGE 1-B



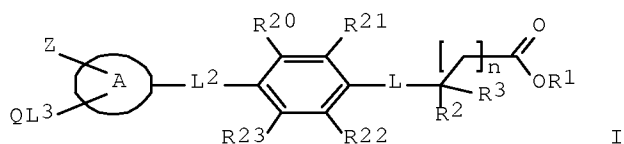
PAGE 2-A



REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:902361 CAPLUS Full-text
DOCUMENT NUMBER: 141:395802
TITLE: Preparation of substituted phenylalkanoic acids,
including amino acid derivatives
INVENTOR(S): Van Zandt, Michael C.; Fang, Haiquan; Hu, Shaojing;
Whitehouse, Darren
PATENT ASSIGNEE(S): The Institutes for Pharmaceutical Discovery, LLC, USA
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|------------|
| WO 2004092146 | A2 | 20041028 | WO 2004-US11650 | 20040414 |
| WO 2004092146 | A3 | 20041229 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
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| AU 2004231106 | A1 | 20041028 | AU 2004-231106 | 20040414 |
| CA 2522080 | A1 | 20041028 | CA 2004-2522080 | 20040414 |
| US 20040248937 | A1 | 20041209 | US 2004-824057 | 20040414 |
| EP 1633354 | A2 | 20060315 | EP 2004-750170 | 20040414 |
| EP 1633354 | B1 | 20080123 | | |
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| BR 2004009447 | A | 20060418 | BR 2004-9447 | 20040414 |
| CN 1794989 | A | 20060628 | CN 2004-80014576 | 20040414 |
| JP 2006524248 | T | 20061026 | JP 2006-510073 | 20040414 |
| AT 384526 | T | 20080215 | AT 2004-750170 | 20040414 |
| NO 2005004769 | A | 20060103 | NO 2005-4769 | 20051017 |
| IN 2005KN02090 | A | 20061117 | IN 2005-KN2090 | 20051024 |
| PRIORITY APPLN. INFO.: | | | US 2003-463102P | P 20030414 |
| | | | WO 2004-US11650 | W 20040414 |
| OTHER SOURCE(S): | MARPAT 141:395802 | | | |
| GI | | | | |



AB The invention relates to compds. I [n is 0-3; R1 is H, alkyl, phenylalkyl or alkenyl; R2 is Ph, phenylalkyl, alkyl, carbamoylalkyl, alkylsulfonylalkyl, heterocycloalkyl, etc.; R3 is H or CO2R1; R20-R23 are independently H, arylalkoxy, arylalkyl, halo, alkyl, OH, alkoxy, NO2, NH2, alkylamino, etc.; L is SO2NH, sulfonyl(alkylimino), NHSO2, O, CONH, carbonyl(alkylimino), SO2, carbonylalkylene, alkylenecarbonyl, NH or alkylimino (the alkyl group are optionally substituted with Ph or substituted phenyl); L2 is a bond, CONR9, NR9CO, alkylene-CONR9, NR9, etc. (R9 is H or alkyl optionally substituted with CO2H, arylsulfonyl or arylalkyl); ring A is (un)substituted Ph, naphthyl, thiazolyl, pyrazolyl, furanyl, dihydropyrazolyl, benzofuranyl, dibenzofuranyl, pyrimidyl, pyridyl, quinolinyl, naphthyl, quinazolinyl, benzo[b]thiophene, imidazolyl, isothiazolyl, pyrrolyl, oxazolyl or triazolyl; Q is H, aryl, arylcarbonylaryl, alkyl, halo, etc.; L3 is a bond, alkyleneoxy, oxyalkylene, alkylene, alkenylene or CO; Z is absent, H, aroylamino, (un)substituted Ph or cycloalkylcycloalkanoyl(alkyl)amino] and their pharmaceutically-acceptable salts, which are useful in the treatment of metabolic disorders related to insulin resistance or hyperglycemia. These compds. include inhibitors of protein tyrosine phosphatase (PTP-1B) that are useful in the treatment of diabetes and other PTP-1B mediated diseases such as cancer and neurodegenerative diseases. Thus, 2-[4-[4-(4-chlorophenyl)-5-(4-ethylphenyl)thiazol-2-ylcarbamoyl]benzenesulfonylamino]-3-phenylpropionic acid was prepared by cyclocondensation of 4-ClC6H4COCH2C6H4Et-4 (preparation given) with thiourea, acylation with 4-ClSO2C6H4CO2H, and coupling with phenylalanine tert-Bu ester hydrochloride. The product was shown to increase the glucose infusion rate in rats at 30 mg/kg.

IT 782483-66-3P 782483-78-7P 782483-80-1P
 782483-81-2P 782483-82-3P 782483-83-4P
 782483-86-7P 782484-01-9P 782484-02-0P
 782484-06-4P 782484-07-5P 782484-13-3P
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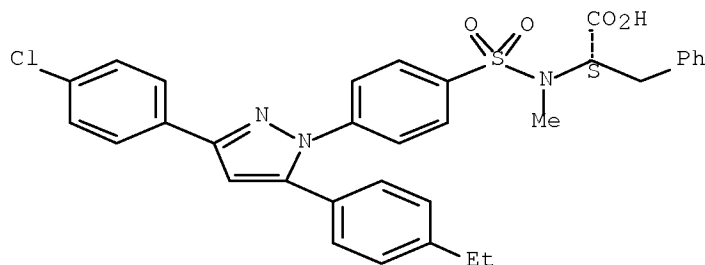
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted phenylalkanoic acids, including amino acid derivs., for treatment of diabetes)

RN 782483-66-3 CAPLUS

CN L-Phenylalanine, N-[[4-[3-(4-chlorophenyl)-5-(4-ethylphenyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

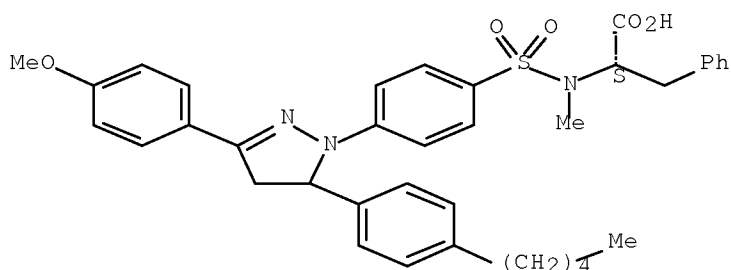
Absolute stereochemistry.



RN 782483-78-7 CAPLUS

CN L-Phenylalanine, N-[[4-[4,5-dihydro-3-(4-methoxyphenyl)-5-(4-pentylphenyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

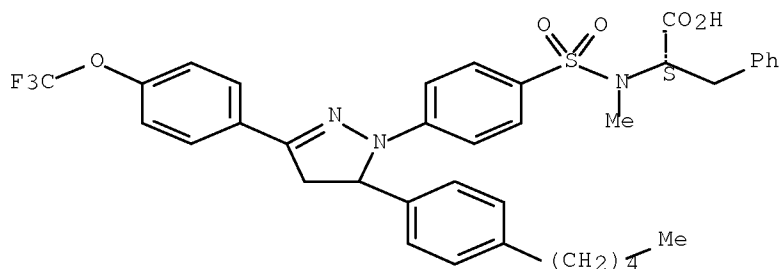
Absolute stereochemistry.



RN 782483-80-1 CAPLUS

CN L-Phenylalanine, N-[[4-[4,5-dihydro-5-(4-pentylphenyl)-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

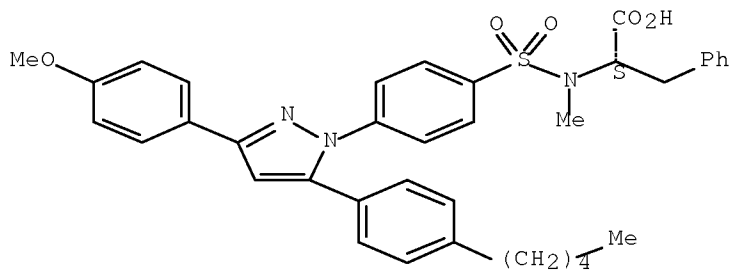
Absolute stereochemistry.



RN 782483-81-2 CAPLUS

CN L-Phenylalanine, N-[[4-[3-(4-methoxyphenyl)-5-(4-pentylphenyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

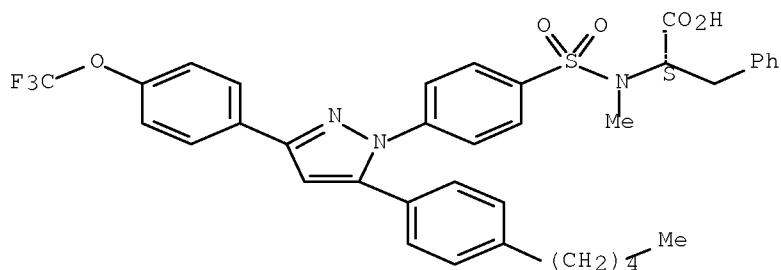
Absolute stereochemistry.



RN 782483-82-3 CAPLUS

CN L-Phenylalanine, N-methyl-N-[[4-[5-(4-pentylphenyl)-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]phenyl]sulfonyl]- (CA INDEX NAME)

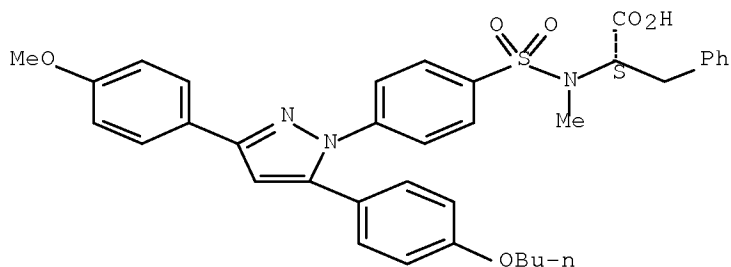
Absolute stereochemistry.



RN 782483-83-4 CAPLUS

CN L-Phenylalanine, N-[[4-[5-(4-butoxyphenyl)-3-(4-methoxyphenyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

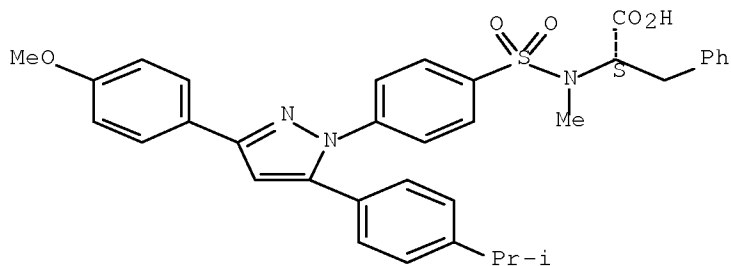
Absolute stereochemistry.



RN 782483-86-7 CAPLUS

CN L-Phenylalanine, N-[[4-[3-(4-methoxyphenyl)-5-[4-(1-methylethyl)phenyl]-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

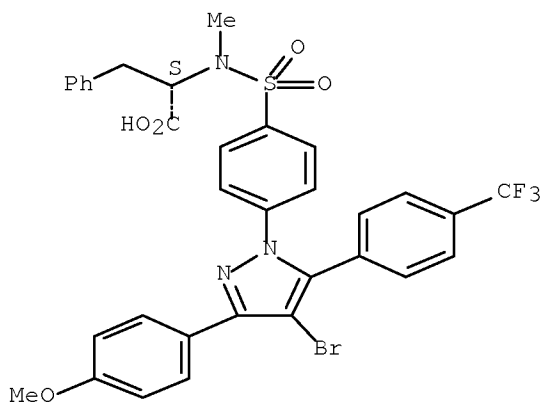
Absolute stereochemistry.



RN 782484-01-9 CAPLUS

CN L-Phenylalanine, N-[[4-[4-bromo-3-(4-methoxyphenyl)-5-[4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

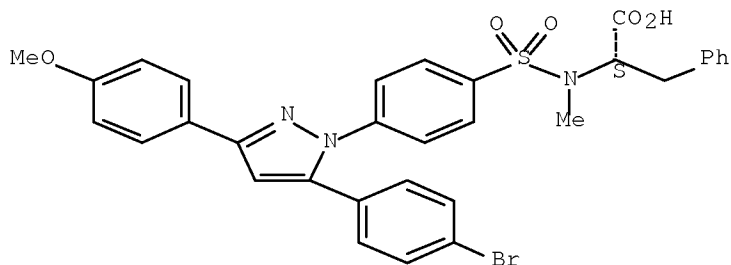
Absolute stereochemistry.



RN 782484-02-0 CAPLUS

CN L-Phenylalanine, N-[[4-[5-(4-bromophenyl)-3-(4-methoxyphenyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

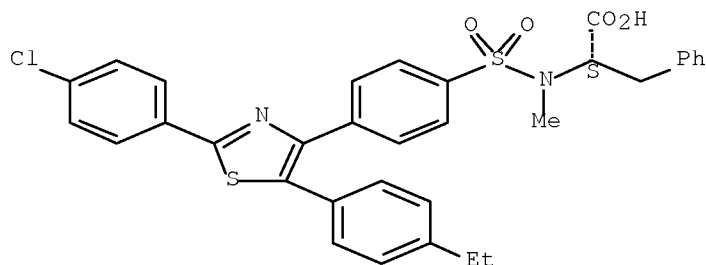
Absolute stereochemistry.



RN 782484-06-4 CAPLUS

CN L-Phenylalanine, N-[[4-[2-(4-chlorophenyl)-5-(4-ethylphenyl)-4-thiazolyl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

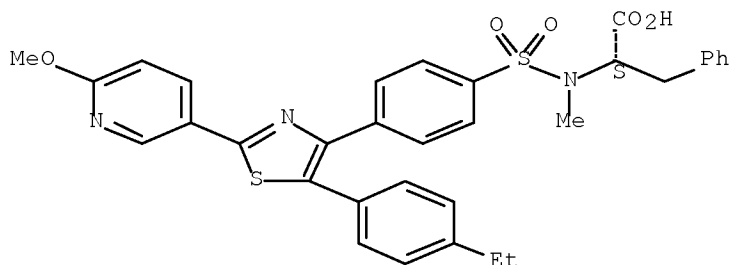
Absolute stereochemistry.



RN 782484-07-5 CAPLUS

CN L-Phenylalanine, N-[[4-[5-(4-ethylphenyl)-2-(6-methoxy-3-pyridinyl)-4-thiazolyl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

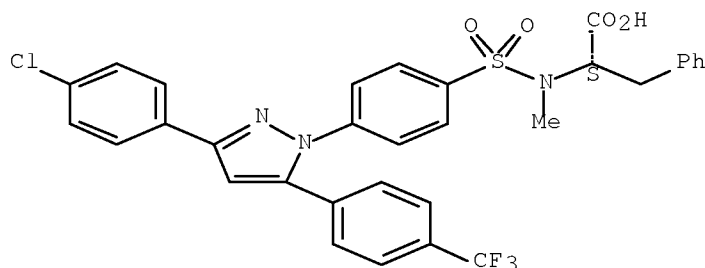
Absolute stereochemistry.



RN 782484-13-3 CAPLUS

CN L-Phenylalanine, N-[[4-[3-(4-chlorophenyl)-5-[4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

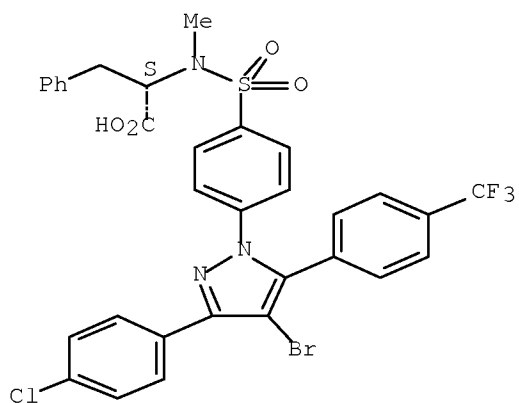
Absolute stereochemistry.



RN 782484-14-4 CAPLUS

CN L-Phenylalanine, N-[[4-[4-bromo-3-(4-chlorophenyl)-5-[4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

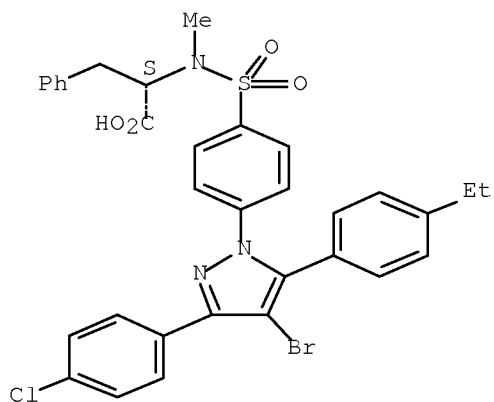
Absolute stereochemistry.



RN 782484-15-5 CAPLUS

CN L-Phenylalanine, N-[[4-[4-bromo-3-(4-chlorophenyl)-5-(4-ethylphenyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

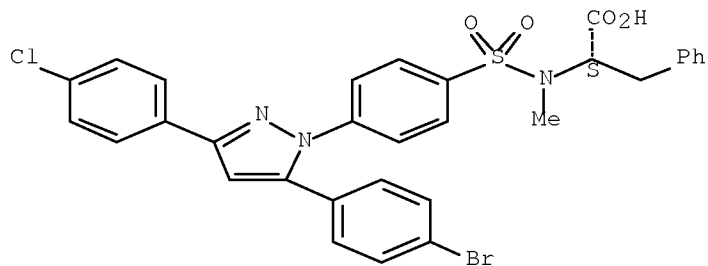
Absolute stereochemistry.



RN 782484-16-6 CAPLUS

CN L-Phenylalanine, N-[[4-[5-(4-bromophenyl)-3-(4-chlorophenyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

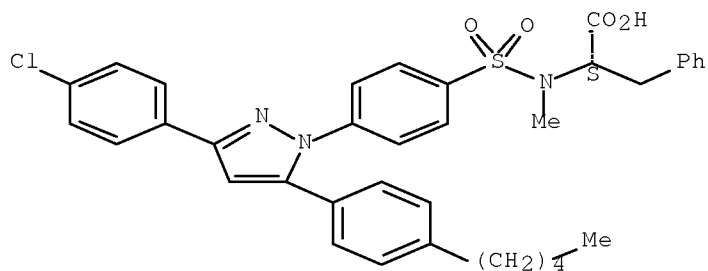
Absolute stereochemistry.



RN 782484-17-7 CAPLUS

CN L-Phenylalanine, N-[[4-[3-(4-chlorophenyl)-5-(4-pentylphenyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

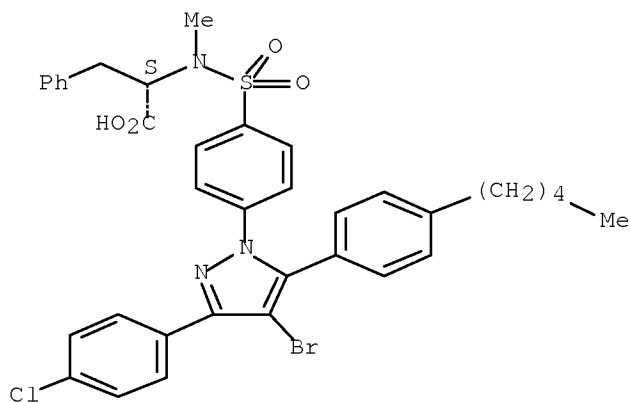
Absolute stereochemistry.



RN 782484-18-8 CAPLUS

CN L-Phenylalanine, N-[[4-[4-bromo-3-(4-chlorophenyl)-5-(4-pentylphenyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl- (CA INDEX NAME)

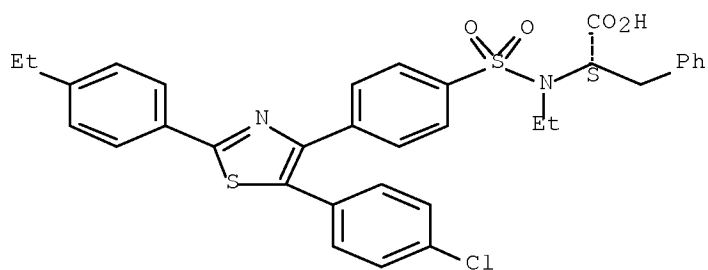
Absolute stereochemistry.



RN 782484-26-8 CAPLUS

CN L-Phenylalanine, N-[[4-[5-(4-chlorophenyl)-2-(4-ethylphenyl)-4-thiazolyl]phenyl]sulfonyl]-N-ethyl- (CA INDEX NAME)

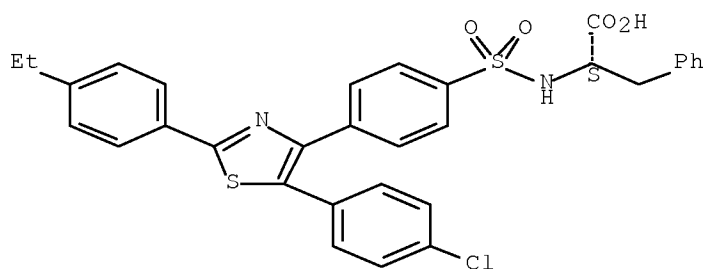
Absolute stereochemistry.



RN 782484-27-9 CAPLUS

CN L-Phenylalanine, N-[[4-[5-(4-chlorophenyl)-2-(4-ethylphenyl)-4-thiazolyl]phenyl]sulfonyl]- (CA INDEX NAME)

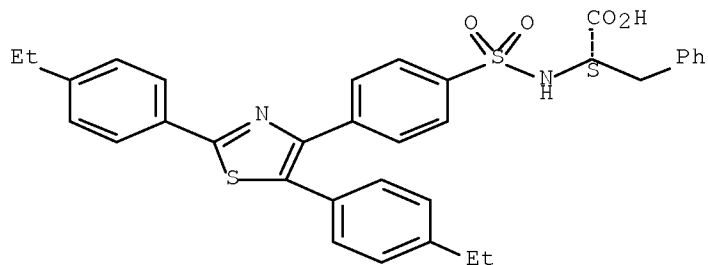
Absolute stereochemistry.



RN 782484-28-0 CAPLUS

CN L-Phenylalanine, N-[[4-[2,5-bis(4-ethylphenyl)-4-thiazolyl]phenyl]sulfonyl]- (CA INDEX NAME)

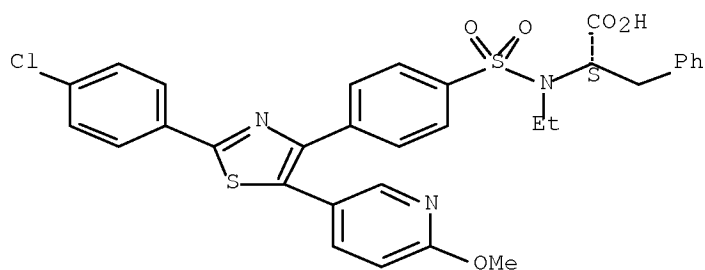
Absolute stereochemistry.



RN 782484-72-4 CAPLUS

CN L-Phenylalanine, N-[[4-[2-(4-chlorophenyl)-5-(6-methoxy-3-pyridinyl)-4-thiazolyl]phenyl]sulfonyl]-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



IT 782483-64-1P 782483-65-2P

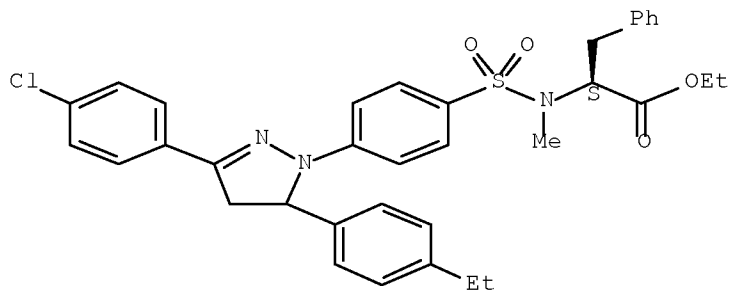
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted phenylalkanoic acids, including amino acid derivs., for treatment of diabetes)

RN 782483-64-1 CAPLUS

CN L-Phenylalanine, N-[[4-[3-(4-chlorophenyl)-5-(4-ethylphenyl)-4,5-dihydro-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl-, ethyl ester (CA INDEX NAME)

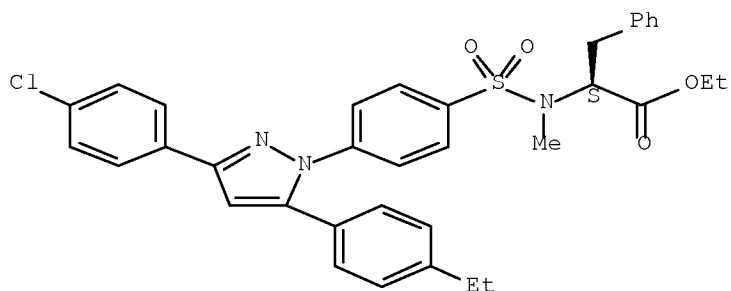
Absolute stereochemistry.



RN 782483-65-2 CAPLUS

CN L-Phenylalanine, N-[[4-[3-(4-chlorophenyl)-5-(4-ethylphenyl)-1H-pyrazol-1-yl]phenyl]sulfonyl]-N-methyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:116516 CAPLUS Full-text

DOCUMENT NUMBER: 139:242328

TITLE: New sulfonamide and sulfonic ester porphyrins as sensitizers for photodynamic therapy

AUTHOR(S): Sobral, Abilio J. F. N.; Eleouet, Sabine; Rousset, Nathalie; Gonsalves, Antonio M. d'A. Rocha; Le Meur, Olivier; Bourre, Ludovic; Patrice, Thierry

CORPORATE SOURCE: Departamento de Quimica, FCTUC, Universidade de Coimbra, Coimbra, 3004-535, Port.

SOURCE: Journal of Porphyrins and Phthalocyanines (2002), 6(7 & 8), 456-462

CODEN: JPPHFZ; ISSN: 1088-4246

PUBLISHER: Society of Porphyrins & Phthalocyanines

DOCUMENT TYPE: Journal

LANGUAGE: English

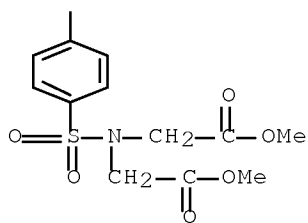
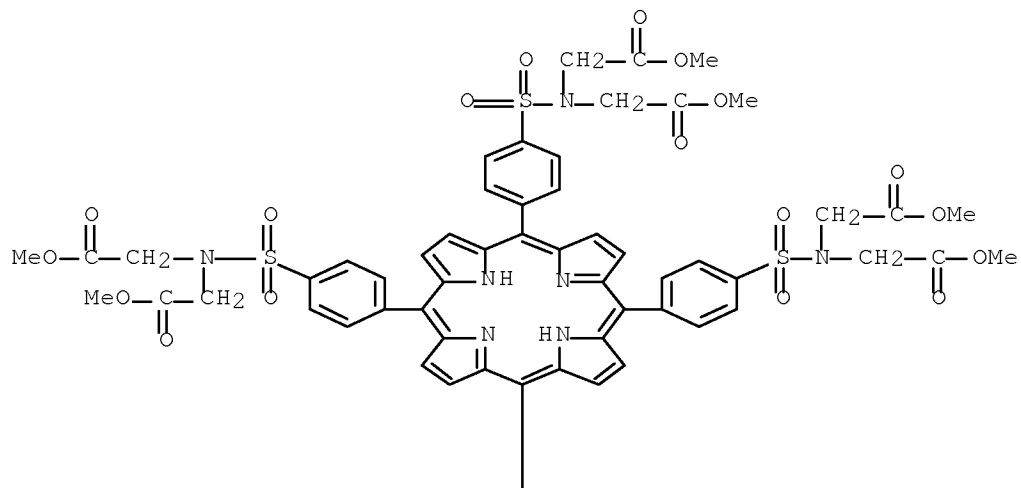
AB New sulfonamide and sulfonic ester porphyrins were prepared and their in vitro characteristics as sensitizers for photodynamic therapy were assessed. Fluorescence spectra were characterized by two main peaks, located between 650-680 nm and 705-740 nm, resp. Some of these new porphyrins (three out of nine) showed good photodynamic properties in the in vitro assays. In the absence of light, these porphyrins are not toxic. With 50 J.cm⁻² illumination light (514 nm) they induced mortality in 50% of HT29 cells with 2 to 4.5 µg/mL. Comparison of in vitro phototoxic efficacy of these three compds. with other photosensitizers already described confirms interest in their phototoxic properties.

IT 596102-91-9P 596102-93-1P 596102-94-2P
596102-95-3P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sulfonamide and sulfonic ester porphyrins as sensitizers for photodynamic therapy)

RN 596102-91-9 CAPLUS

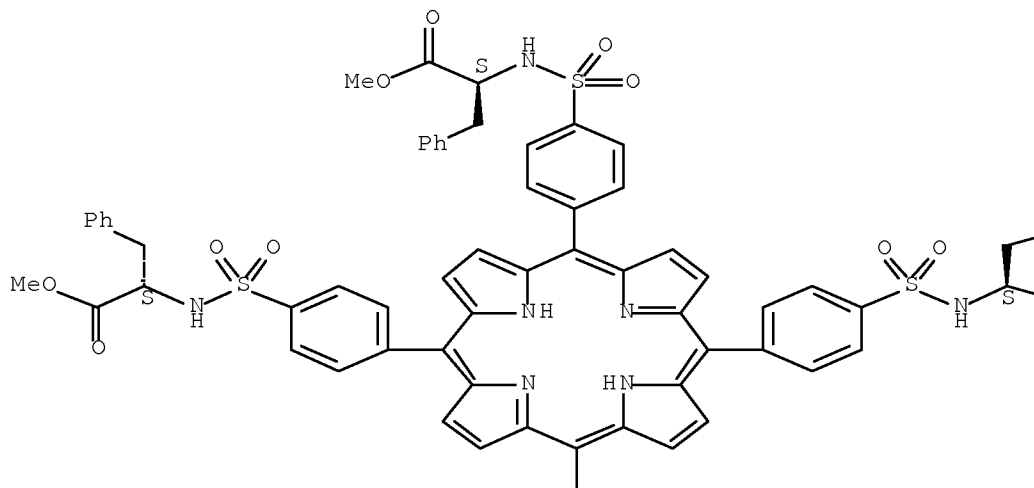
CN Glycine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis[N-(2-methoxy-2-oxoethyl)-, tetramethyl ester (9CI) (CA INDEX NAME)



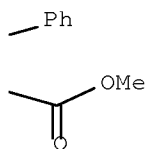
RN 596102-93-1 CAPLUS
 CN L-Phenylalanine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis-, tetramethyl ester (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

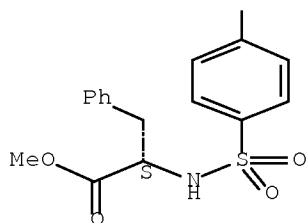
PAGE 1-A



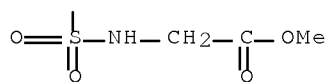
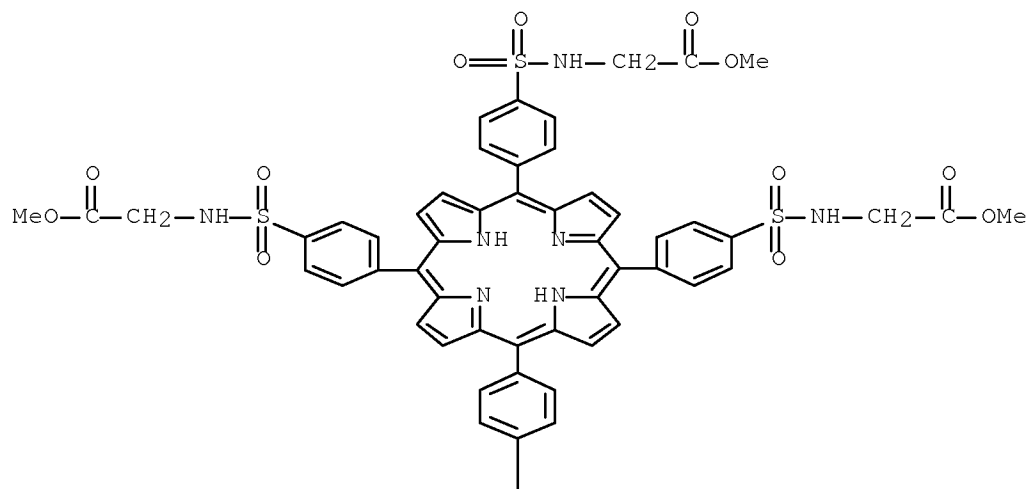
PAGE 1-B



PAGE 2-A

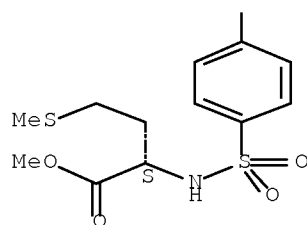
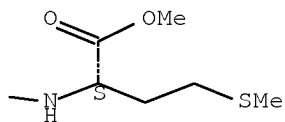
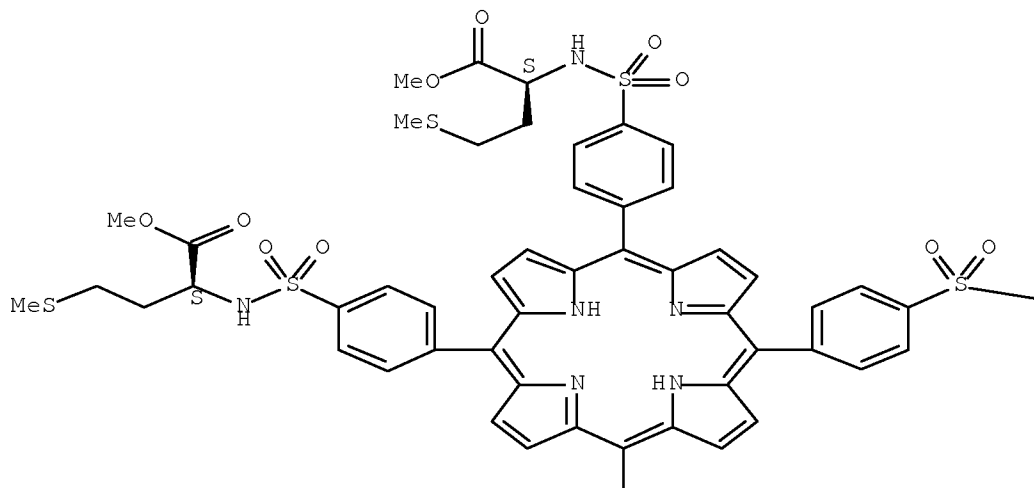


RN 596102-94-2 CAPLUS
 CN Glycine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis-, tetramethyl ester (9CI) (CA INDEX NAME)



RN 596102-95-3 CAPLUS
 CN L-Methionine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis-, tetramethyl ester (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2002:236463 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:256349
 TITLE: Preparation of phosphorescent porphyrin and metalloporphyrin compounds for imaging tissue oxygen
 INVENTOR(S): Vinogradov, Sergei; Wilson, David F.
 PATENT ASSIGNEE(S): The Trustees of the University of Pennsylvania, USA
 SOURCE: U.S., 19 pp., Cont.-in-part of U.S. 5,501,225.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| US 6362175 | B1 | 20020326 | US 1993-137624 | 19931015 |
| US 5501225 | A | 19960326 | US 1993-22190 | 19930225 |
| CA 2174209 | A1 | 19950420 | CA 1994-2174209 | 19941014 |
| CA 2174209 | C | 20050125 | | |
| WO 9510522 | A1 | 19950420 | WO 1994-US11695 | 19941014 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ | | | | |
| RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9479798 | A | 19950504 | AU 1994-79798 | 19941014 |
| AU 700941 | B2 | 19990114 | | |
| EP 723548 | A1 | 19960731 | EP 1994-930778 | 19941014 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE | | | | |
| US 5837865 | A | 19981117 | US 1996-767158 | 19961216 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 1991-763184 | A2 19910920 |
| | | | US 1993-22190 | A2 19930225 |
| | | | US 1993-137624 | A 19931015 |
| | | | WO 1994-US11695 | W 19941014 |

OTHER SOURCE(S): CASREACT 136:256349; MARPAT 136:256349

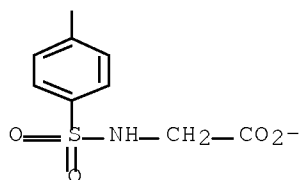
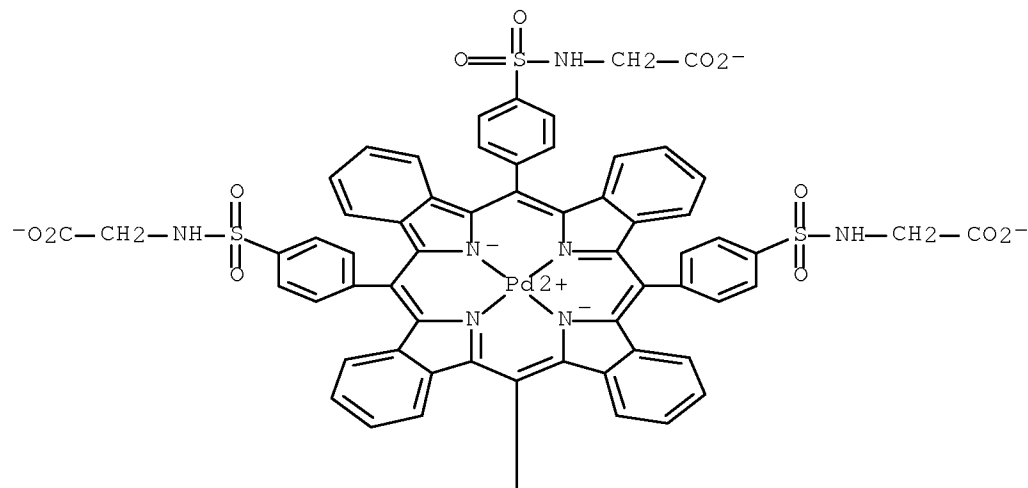
AB Methods and compds. for the measurement in vivo of oxygen in living tissue. The compds. preferably comprise a substituted porphyrin which is soluble in aqueous solution which is capable of absorbing an amount of energy and subsequently releasing the energy as phosphorescent light. In preferred embodiments, the porphyrin has an absorption band which is at a wavelength in the near IR window of living tissue and the phosphorescence is quenched by mol. oxygen according to the Stern-Volmer relation. Thus, palladium meso-tetraphenyl(tetrabenzoporphyrin) was prepared and its phosphorescent properties measured.

IT 166174-06-7P

RL: DGN (Diagnostic use); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of phosphorescent porphyrins and metalloporphyrins for imaging tissue oxygen)

RN 166174-06-7 CAPLUS

CN Palladate(4-), [[N,N',N'',N'''-(29H,31H-tetrabenzob[b,g,l,q]porphine-6,13,20,27-tetrayl-kN29,kN30,kN31,kN32)tetrakis(4,1-phenylenesulfonyl)]tetrakis[glycinato]](6-)]-, tetrahydrogen, (SP-4-1)-(9CI) (CA INDEX NAME)



● 4 H⁺

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1995:731652 CAPLUS Full-text
 DOCUMENT NUMBER: 123:131203
 ORIGINAL REFERENCE NO.: 123:23002h,23003a
 TITLE: Phosphorescent compounds for imaging tissue oxygen
 INVENTOR(S): Vinogradov, Sergei; Wilson, David F.
 PATENT ASSIGNEE(S): University of Pennsylvania, USA
 SOURCE: PCT Int. Appl., 65 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| ----- | ---- | ----- | ----- | ----- |
| WO 9510522 | A1 | 19950420 | WO 1994-US11695 | 19941014 |

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ

RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

| | | | | |
|------------|----|----------|----------------|----------|
| US 6362175 | B1 | 20020326 | US 1993-137624 | 19931015 |
| AU 9479798 | A | 19950504 | AU 1994-79798 | 19941014 |
| AU 700941 | B2 | 19990114 | | |
| EP 723548 | A1 | 19960731 | EP 1994-930778 | 19941014 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE

PRIORITY APPLN. INFO.:

| | | |
|-----------------|----|----------|
| US 1993-137624 | A | 19931015 |
| US 1991-763184 | A2 | 19910920 |
| US 1993-22190 | A2 | 19930225 |
| WO 1994-US11695 | W | 19941014 |

OTHER SOURCE(S): MARPAT 123:131203

AB Methods of preparation of compds. for the measurement in vivo of oxygen in living tissue are presented. The compds. preferably comprise a chromophore which is capable of absorbing an amount of energy and subsequently releasing the energy as phosphorescent light. In preferred embodiments, the chromophore has an absorption band which is at a wavelength in the near IR window of living tissue and the phosphorescence is quenched by mol. oxygen-according to the Stern-Volmer relation.

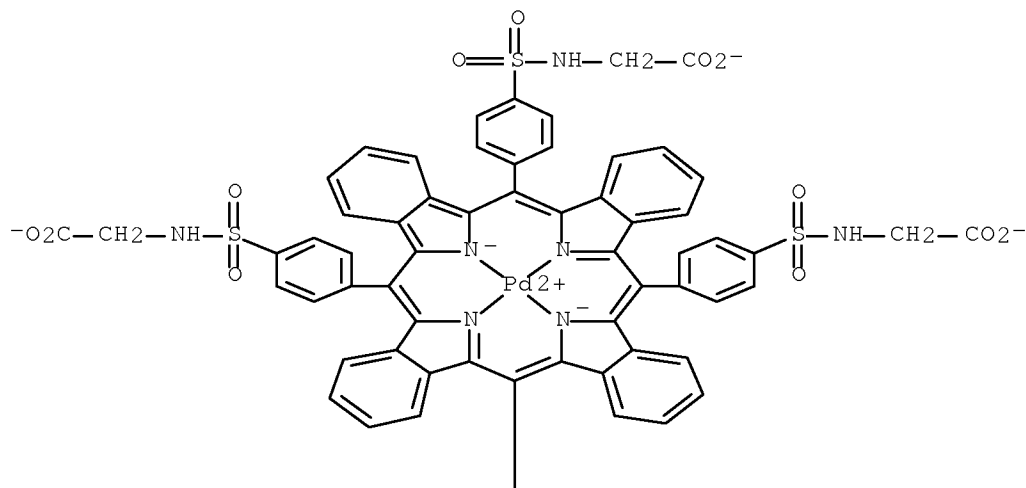
IT 166174-06-7F

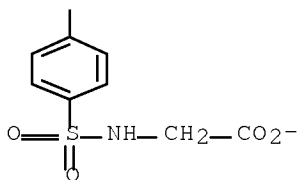
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of phosphorescent metal porphyrinato complexes for imaging tissue oxygen)

RN 166174-06-7 CAPLUS

CN Palladate(4-), [[N,N',N'',N'''-(29H,31H-tetrabenzo[b,g,l,q]porphine-6,13,20,27-tetrayl-κN29,κN30,κN31,κN32)tetrakis(4,1-phenylenesulfonyl)]tetrakis(glycinato)](6-)]-, tetrahydrogen, (SP-4-1)-(9CI) (CA INDEX NAME)

PAGE 1-A





●4 H⁺

L3 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:15666 CAPLUS Full-text

DOCUMENT NUMBER: 120:15666

ORIGINAL REFERENCE NO.: 120:2977a,2980a

TITLE: Characterization and Langmuir-Blodgett deposition of novel porphyrin compounds

AUTHOR(S): Hudson, Andrew J.; Richardson, Tim; Thirtle, James P.; Roberts, Gareth G.; Johnstone, Robert A. W.; Sobral, Abilio J. F. N.

CORPORATE SOURCE: Dep. Phys., Univ. Sheffield, Sheffield, S3 7RH, UK

SOURCE: Molecular Crystals and Liquid Crystals Science and Technology, Section A: Molecular Crystals and Liquid Crystals (1993), 235, 103-8

CODEN: MCLCE9; ISSN: 1058-725X

DOCUMENT TYPE: Journal

LANGUAGE: English

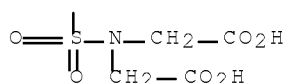
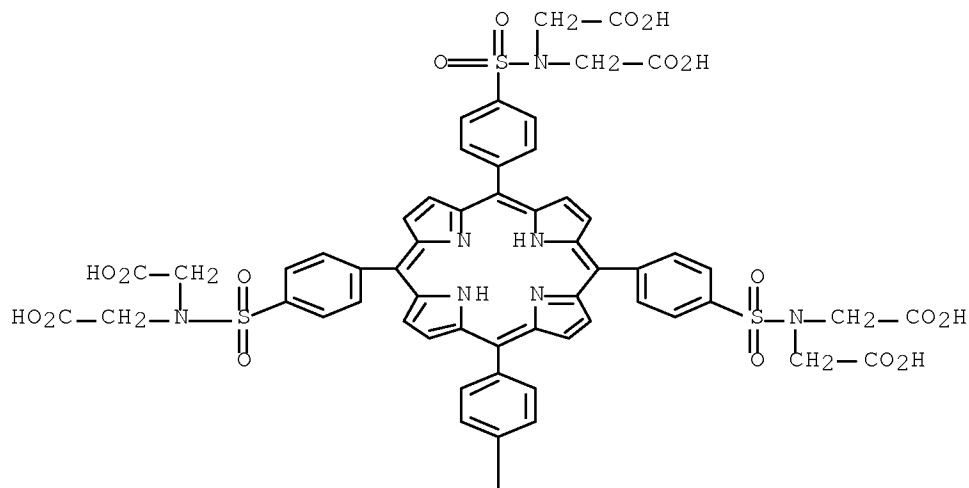
AB A novel range of sulfoamido porphyrins based on meso-tetraphenylporphyrin was synthesized and assessed for Langmuir-Blodgett (LB) deposition. Those substituted with long hydrocarbon chains form stable Langmuir films. On acidic subphases the mols. become protonated as the film is compressed. Mol. areas suggest that the porphyrin rings are packed in a tilted arrangement on the water surface. When these materials are transferred onto solid substrates a preferred mol. orientation perpendicular to the dipping direction is observed

IT 151862-58-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 151862-58-7 CAPLUS

CN Glycine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis[N-(carboxymethyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1988:529608 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 109:129608

ORIGINAL REFERENCE NO.: 109:21621a, 21624a

TITLE: Functionalization of tetrakis(p-sulfophenyl)porphyrin and its tin(IV) derivative with amino acid esters

AUTHOR(S): Bedel-Cloutour, Catherine H.; Rzama, Abdelmoula

CORPORATE SOURCE: Lab. Chim.Org. Organometall., Univ. Bordeaux I, Talence, F-33405, Fr.

SOURCE: Main Group Metal Chemistry (1987), 10(1), 45-55
CODEN: MGMCE8; ISSN: 0792-1241

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A new route to the functionalization of the title water-soluble porphyrins and their tin(IV) derivs. is reported. Condensation of Et esters of glycine, leucine, alanine, and phenylalanine with the tetrakis(chlorosulfonylphenyl)porphyrin derivs. gave the porphyrin amino acid sulfonamide free base and Sn derivs. in 40-62% yields.

IT 116249-27-5P 116249-28-6P 116249-29-7P
116275-48-0P 116393-91-0P 116393-92-1P
116417-97-1P 116417-98-2P

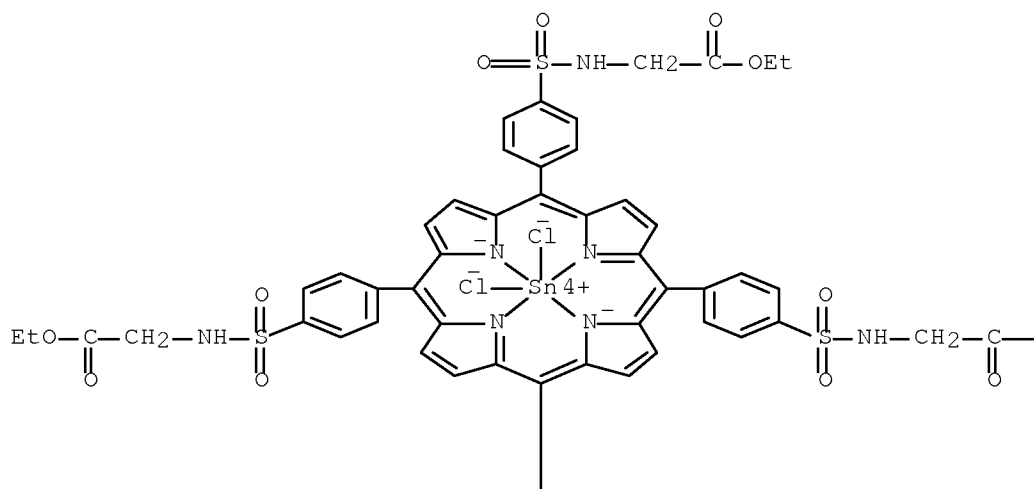
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 116249-27-5 CAPLUS

CN Tin, dichloro[[tetraethyl N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis(glycinato)](2)-

N21,N22,N23,N24]-, (OC-6-12)-(9CI) (CA INDEX NAME)

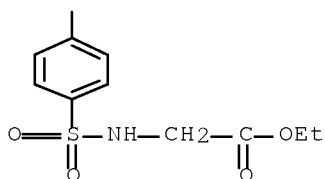
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PAGE 1-B

—OEt

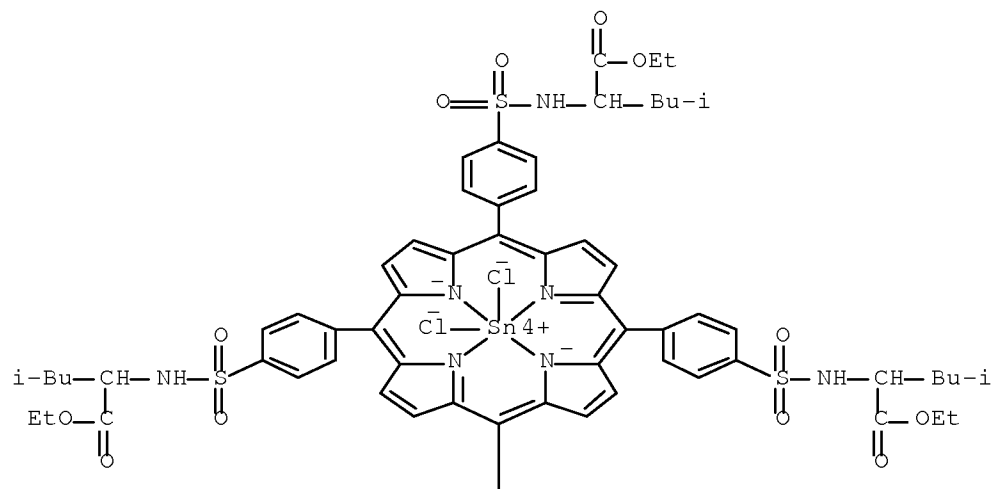
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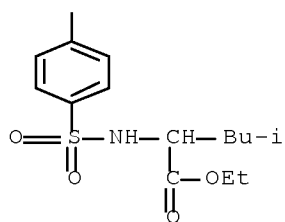
RN 116249-28-6 CAPLUS
 CN Tin, dichloro[[tetraethyl N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis[L-leucinato]](2-)-

N21,N22,N23,N24]-, (OC-6-12)- (9CI) (CA INDEX NAME)

PAGE 1-A

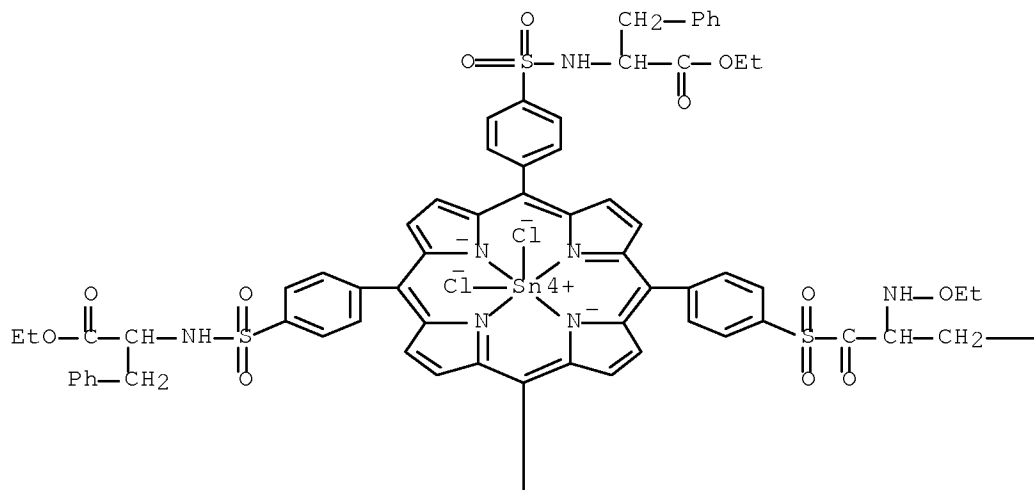


PAGE 2-A



RN 116249-29-7 CAPLUS
 CN Tin, dichloro[[tetraethyl N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis[L-phenylalaninato]](2-)-N21,N22,N23,N24]-, (OC-6-12)- (9CI) (CA INDEX NAME)

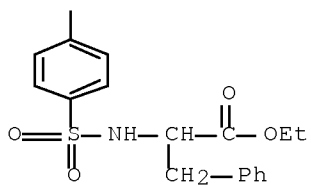
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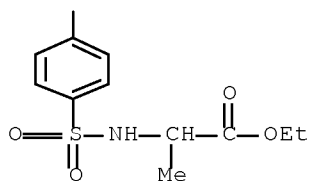
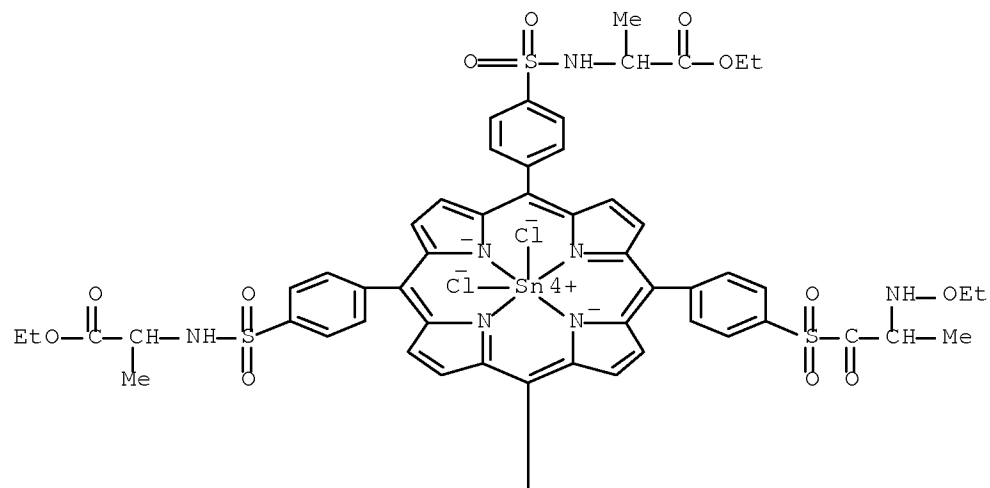
PAGE 1-B

—Ph

PAGE 2-A



RN 116275-48-0 CAPLUS
 CN Tin, dichloro[[tetraethyl N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis[L-alaninato]](2-)-N21,N22,N23,N24]-, (OC-6-12)-(9CI) (CA INDEX NAME)

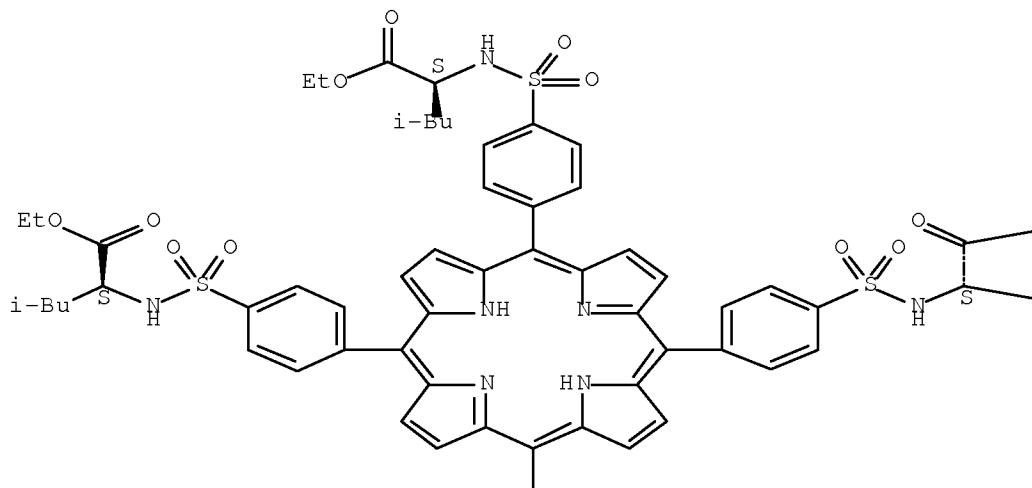


RN 116393-91-0 CAPLUS

CN L-Leucine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis-, tetraethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

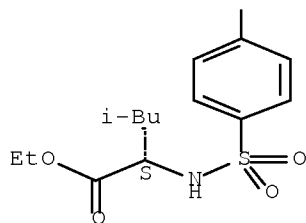


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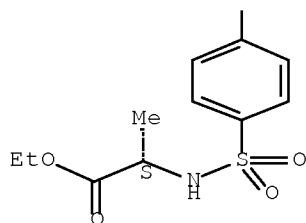
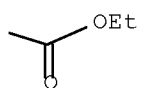
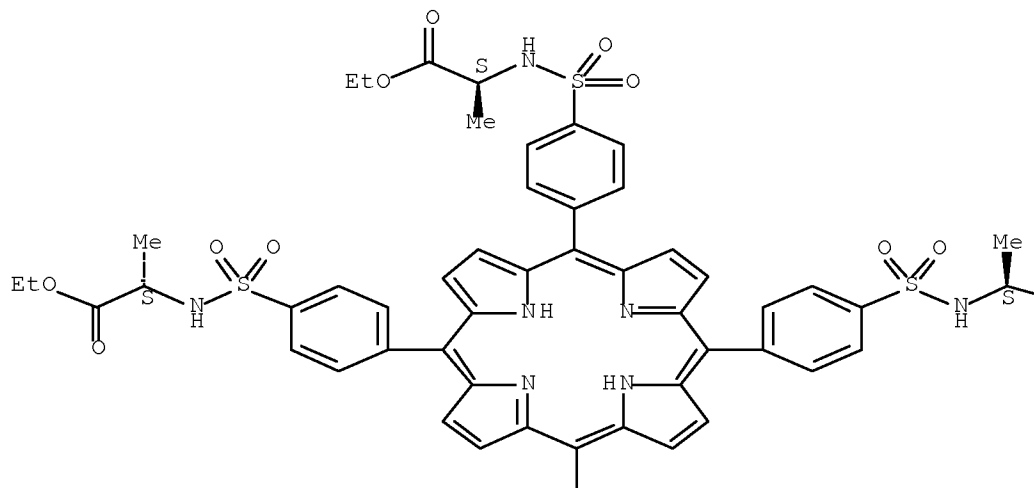
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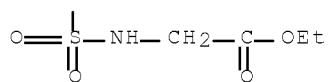
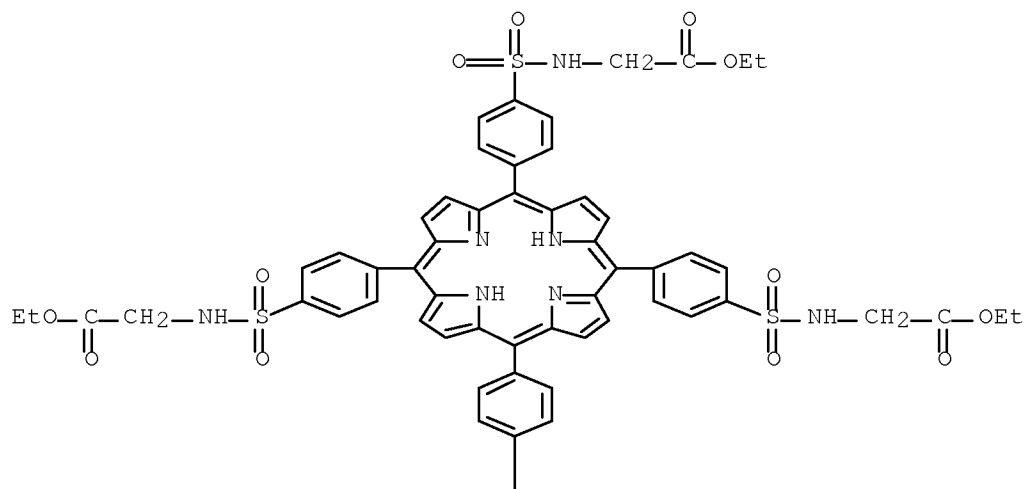


RN 116393-92-1 CAPLUS
CN L-Alanine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis-, tetraethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 116417-97-1 CAPLUS
 CN Glycine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis-, tetraethyl ester (9CI) (CA INDEX NAME)

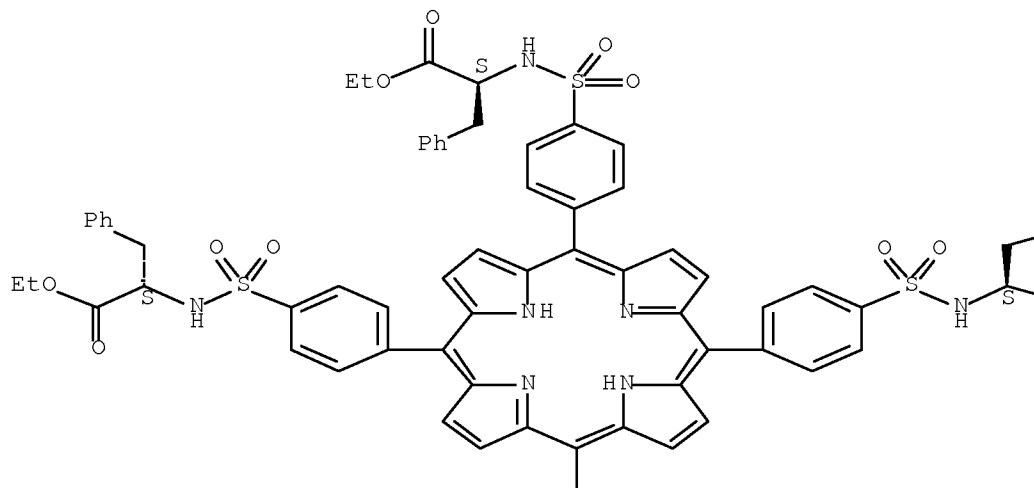


RN 116417-98-2 CAPLUS

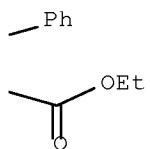
CN L-Phenylalanine, N,N',N'',N'''-[21H,23H-porphine-5,10,15,20-tetrayltetrakis(4,1-phenylenesulfonyl)]tetrakis-, tetraethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

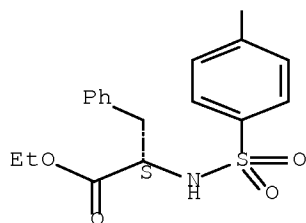
PAGE 1-A



PAGE 1-B



PAGE 2-A



=> file marpat

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| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| FULL ESTIMATED COST | ENTRY | SESSION |
| | 51.26 | 238.34 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| CA SUBSCRIBER PRICE | ENTRY | SESSION |
| | -7.38 | -7.38 |

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 (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20080293792 27 NOV 2008
 DE 102007023256 20 NOV 2008
 EP 1994930 26 NOV 2008
 JP 2008291018 04 DEC 2008
 WO 2008144956 04 DEC 2008
 GB 2449363 19 NOV 2008
 FR 2916200 21 NOV 2008
 RU 2338533 20 NOV 2008
 CA 2590111 25 NOV 2008

Expanded G-group definition display now available.

The new MARPAT User Guide is now available at:
<http://www.cas.org/support/stngen/stdoc/marpat.html>.

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 FULL SEARCH INITIATED 09:35:36 FILE 'MARPAT'
 FULL SCREEN SEARCH COMPLETED - 21114 TO ITERATE

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| 99.4% PROCESSED | 20978 ITERATIONS | 23 ANSWERS |
| 99.4% PROCESSED | 20978 ITERATIONS | 23 ANSWERS |
| 100.0% PROCESSED | 21114 ITERATIONS (1 INCOMPLETE) | 24 ANSWERS |
| SEARCH TIME: 00.00.37 | | |

L4 24 SEA SSS FUL L1

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FILE COVERS 1907 - 13 Jan 2009 VOL 150 ISS 3
FILE LAST UPDATED: 12 Jan 2009 (20090112/ED)

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L5 24 L4

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YOU HAVE REQUESTED DATA FROM 24 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1184350 CAPLUS Full-text
DOCUMENT NUMBER: 149:394640
TITLE: Methods and compositions for inducing apoptosis in tumors by stimulating ER (endoplasmic reticulum) stress
INVENTOR(S): Schonthal, Axel H.; Petasis, Nicos A.; Hofman, Florence M.; Louie, Stan G.; Chen, Thomas C.
PATENT ASSIGNEE(S): University of Southern California USC Stevens, USA
SOURCE: PCT Int. Appl., 85pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| ----- | ---- | ----- | ----- | ----- |
| WO 2008118991 | A1 | 20081002 | WO 2008-US58323 | 20080326 |
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| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, | | | |

AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2007-908107P P 20070326
OTHER SOURCE(S): MARPAT 149:394640

AB The present invention provides a method for inducing apoptosis in selected cells by aggravating ER-stress. The aggravation of ER-stress is achieved in a specific manner by inhibiting SERCA (sarcoplasmic/endoplasmic reticulum calcium ATPase), leading to elevated level of cytoplasmic calcium concentration, yet without inhibiting the activity of COX-2 (cyclooxygenase-2) or triggering the release of histamine. Induction of apoptosis may be enhanced by first inducing or further aggravating ER-stress through inhibition of proteasome or proteases. Also provided are compds. and compns. useful as ER-stress aggravating agents, methods for screening, selecting, identifying and designing the same and methods for treating diseased conditions by inducing apoptosis through specific and selective aggravation of ER-stress.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1123312 CAPLUS Full-text

DOCUMENT NUMBER: 149:378407

TITLE: Preparation of substituted benzohydrazides as chemokine receptor modulators

INVENTOR(S): Clark, Michael P.; Lockwood, Mark A.; Wagner, Florence F.; Natchus, Michael G.; Doroh, Brandon C.

PATENT ASSIGNEE(S): Metastatix, Inc., USA

SOURCE: PCT Int. Appl., 629pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

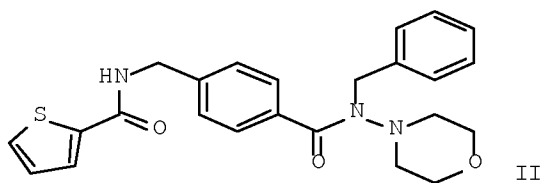
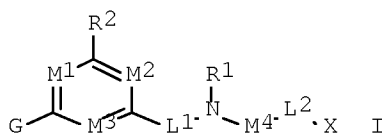
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| WO 2008112156 | A1 | 20080918 | WO 2008-US3068 | 20080307 |
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| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |

US 20080293711 A1 20081127 US 2008-73672 20080307

PRIORITY APPLN. INFO.: US 2007-905610P P 20070308

OTHER SOURCE(S): MARPAT 149:378407

GI



AB The title compds. I [M1 = N or C(G); M2, M3 = N or CR3; M4 = C(R4)2 or NR5; G = H, L3NR6L4Y or (un)substituted piperazino, etc.; L1 = a bond, C(O), SO2, etc.; L2 = a bond, SO2, SO, etc.; L3 = C(O), SO2, SO, etc.; L4 = a bond, C(O), SO2, etc.; X = H, alkyl, aryl, etc.; Y = heteroaryl, aryl, hydroxyalkyl, etc.; R1 = H, alkyl, aminoalkyl, etc.; R2, R3 = H, alkyl, halo, etc.; R4 = H, alkyl, alkylthioalkyl, etc.; R5 = H, heteroarylalkyl, alkyl, etc.; R5 and R1, together with the nitrogen atoms to which they are each attached, form an (un)substituted heterocyclyl; R5 and L2X, together with the nitrogen atom to which they are both attached, form (un)substituted heterocyclyl; R6 = H, alkyl, aminoalkyl, etc.; R6 and L4Y, together with the nitrogen atom to which they are both attached, form (un)substituted heterocyclyl; with the provisos], useful for treating or preventing HIV infections, and in treating proliferative disorders such as inhibiting the metastasis of various cancers, were prepared E.g., a multi-step synthesis of II, starting from 4-[(tert-butocycarbonyl)methyl]benzoic acid and 4-aminomorpholine, was given. Compds. I were tested for their activity against HIV strains, for CXCR7 activity and in binding competition test of CXCR4 or CXCR7. For example, II showed IC50 of $\leq 10 \mu\text{M}$ when tested against HIV strains. The invention also provides pharmaceutical compns. comprising compds. I.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:743370 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 149:73500
 TITLE: Luminescent metal complexes and associated technology
 INVENTOR(S): Mao, Fei; Leung, Wai-Yee; Cheung, Ching-Ying; Yang, Jie
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 41pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|------------------|-----------------|------------|
| US 20080145526 | A1 | 20080619 | US 2007-952867 | 20071207 |
| PRIORITY APPLN. INFO.: | | | US 2006-869075P | P 20061207 |
| OTHER SOURCE(S): | | MARPAT 149:73500 | | |

AB Luminescent metal complexes, methods of producing and/or designing same, methods of using same, and associated technol., are disclosed herein. A luminescent metal complex may be useful for a variety of applications, such as staining, detection, and/or identification, for example, of substances, such as poly(amino acids), for example. Further by way of example, a luminescent metal complex may be useful for staining, detecting, and/or identifying poly(amino acids) that are associated with any of various environments, such as a gel or a gel matrix, such as any associated with SDS-PAGE, for example, a surface environment, such as any associated with western blot, for example, and/or the like. Compns., solns., and kits comprising a luminescent metal complex are also disclosed herein.

L5 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1420264 CAPLUS Full-text

DOCUMENT NUMBER: 148:45857

TITLE: Preparation of phenyl pyrrole aminoguanidine derivatives for use in treating diseases associated with melanocortin receptors or related systems
INVENTOR(S): Boman, Arne; Jonassen, Thomas Engelbrecht Norkild; Lundstedt, Torbjoern

PATENT ASSIGNEE(S): Action Pharma A/S, Den.

SOURCE: PCT Int. Appl., 117pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

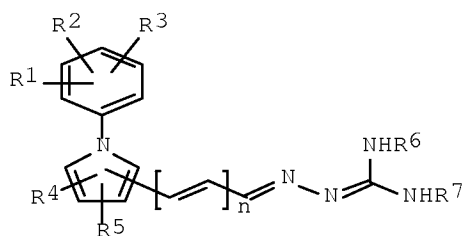
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2007141343 | A1 | 20071213 | WO 2007-EP55715 | 20070611 |
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| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |

PRIORITY APPLN. INFO.: DK 2006-780 A 20060609

OTHER SOURCE(S): MARPAT 148:45857

GI



I

AB The present invention relates to Ph pyrrole aminoguanidine derivs. of the general formula I (wherein n = 1-3; the R groups = H, optionally substituted C1-6-alkyl, etc.), to tautomeric forms thereof, and to pharmaceutically acceptable salts thereof. The present invention further relates to the use of such Ph pyrrole aminoguanidine derivs. for the treatment of diseases associated with the melanocortin receptors or related systems, e.g. the melanocyte stimulating hormones. Such diseases include obesity, insulin resistance, and diabetes mellitus.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1236469 CAPLUS Full-text

DOCUMENT NUMBER: 147:481488

TITLE: Preparation of pyrazoline derivative acaricides and insecticides

INVENTOR(S): McCann, Stephen Frederick; Smith, Brenton Todd

PATENT ASSIGNEE(S): E. I. du Pont de Nemours and Company, USA

SOURCE: PCT Int. Appl., 111pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

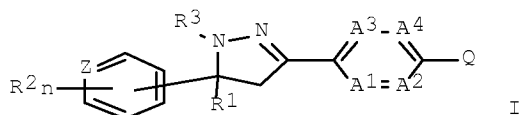
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2007123855 | A2 | 20071101 | WO 2007-US9184 | 20070413 |
| WO 2007123855 | A3 | 20080110 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |
| AU 2007240954 | A1 | 20071101 | AU 2007-240954 | 20070413 |
| IN 2008DN07312 | A | 20080926 | IN 2008-DN7312 | 20080827 |
| PRIORITY APPLN. INFO.: | | | US 2006-793576P | P 20060420 |
| | | | WO 2007-US9184 | W 20070413 |

OTHER SOURCE(S): MARPAT 147:481488

GI



AB The pyrazoline derivs. I [Z = N or CR₂; R₁ = cyano, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkylcycloalkyl or cycloalkylalkyl; R₂ = H, halo, (halo)alkyl, (halo)alkoxy, etc.; R₃ = H, cyano, CHO, alkyl, alkenyl, etc.; Q = (un)substituted 5- or 6-membered saturated or unsatd. heterocyclyl, etc.; A₁ = CR₄ or N; A₂ = CR₅ or N; A₃ = CR₆ or N; A₄ = CR₇ or N; R₄₋₇ = H, halo, (halo)alkyl, (halo)cycloalkyl, etc.; n = 1-4] as well as I isomers, N-oxides and salts are prepared as acaricides and insecticides.

L5 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:705815 CAPLUS Full-text

DOCUMENT NUMBER: 147:118257

TITLE: Preparation of aryl and heteroaryl substituted pyrazinone derivatives for use in melanin-concentrating hormone mediated diseases

INVENTOR(S): Andres-Gil, Jose Ignacio; Alcazar-Vaca, Manuel Jesus; Alvarez-Escobar, Rosa Maria; Oyarzabal Santamarina, Julien; Dautzenberg, Frank Matthias; Macritchie, Jacqueline; Simpson, Donald; Martinez Gonzalez, Sonia

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 139pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

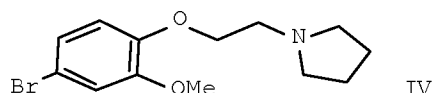
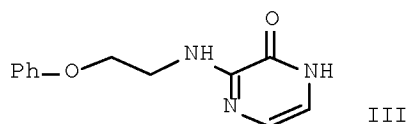
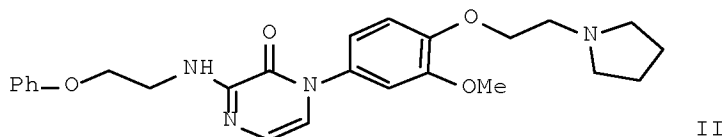
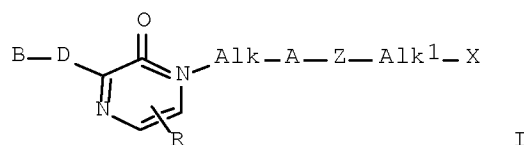
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|------------|
| WO 2007071646 | A1 | 20070628 | WO 2006-EP69830 | 20061218 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TS, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| AU 2006328558 | A1 | 20070628 | AU 2006-328558 | 20061218 |
| CA 2626220 | A1 | 20070628 | CA 2006-2626220 | 20061218 |
| EP 1966164 | A1 | 20080910 | EP 2006-841424 | 20061218 |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | |
| US 20090012062 | A1 | 20090108 | US 2008-91365 | 20080424 |
| MX 200808284 | A | 20080704 | MX 2008-8284 | 20080620 |
| CN 101341135 | A | 20090107 | CN 2006-80048181 | 20080620 |
| PRIORITY APPLN. INFO.: | | | EP 2005-112616 | A 20051221 |
| | | | WO 2006-EP69830 | W 20061218 |

OTHER SOURCE(S): MARPAT 147:118257

GI



AB Aryl and heteroaryl substituted pyrazinone derivs. having antagonistic melanin-concentrating hormone (MCH) activity, in particular MCH-I activity according to the general formula I were prepared, wherein A is Ph or a heterocyclic radical selected from the group of indolinyl, indazolyl, quinolinyl, furanyl, thio-Ph, chromenyl and pyridinyl; B is a radical selected from the group of phenyl; biphenyl; naphthyl; cyclohexyl; cyclohexenyl; heterocyclic radical selected from the group of azetidiny, pyrrolyl, pyrazolyl, imidazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, piperidinyl, homo-piperidiyl, diazepyl, morpholinyl, thio-morpholinyl, piperazinyl, imidazolidinyl, imidazolinyl, pyrazolinyl, 1,2,3,4-tetrahydro-isoquinolinyl, indolyl and iso-indolyl; and a radical composed of a benzo-radical fused to a heterocyclic 5- or 6-membered ring containing 1 or 2 heteroatoms selected from the group of N, O and S; D is a radical of formula Y2-Alk2-Y1 or Y2-Alk2-heterocycle; provided that the backbone of D is at least 3 atoms long; Z, Y1,Y2 are each, independently from each other, selected from the group of a covalent bond; O; substituted N; S; SO; SO2; Alk-Alk2 are each, independently a covalent bond or a saturated or unsatd. hydrocarbon; X is substituted N and heterocycle. It further relates to their preparation, compns. comprising them and their use as a medicine. Thus, pyrazinone II was prepared via condensation of pyrazinone III with bromide IV and tested for use in melanin-concentrating hormone mediated diseases. The compds. according to the invention are useful for the prevention and/or treatment of psychiatric disorders, including but not limited to anxiety, eating disorders, mood disorders, such as bipolar disorders and depression, psychoses, such as schizophrenia, and sleeping disorders, obesity, diabetes, sexual disorders, and neurol. disorders.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:31415 CAPLUS Full-text

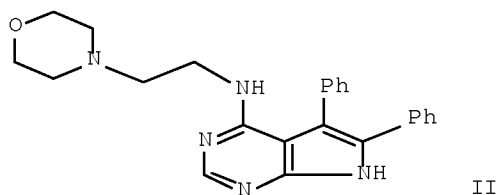
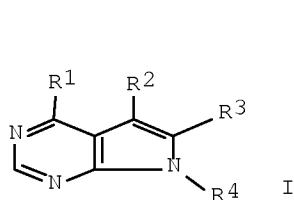
DOCUMENT NUMBER: 144:108353

TITLE: Preparation of pyrrolo[2,3-d]pyrimidines that modulate ACK1 and LCK activity for use against cancer

INVENTOR(S): Farthing, Christopher N.; Faulder, Paul; Frenkel, Alexander David; Harrison, Martin James; Jiao, Xianyun; Kayser, Frank; Kopecky, David J.; Liu,

Jinqian; Lively, Sarah E.; Sharma, Rajiv;
Shuttleworth, Stephen Joseph
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|--|------------|
| WO 2006004703 | A2 | 20060112 | WO 2005-US22836 | 20050629 |
| WO 2006004703 | A3 | 20060309 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2005260032 | A1 | 20060112 | AU 2005-260032 | 20050629 |
| CA 2572314 | A1 | 20060112 | CA 2005-2572314 | 20050629 |
| US 20060040965 | A1 | 20060223 | US 2005-169313 | 20050629 |
| US 7358250 | B2 | 20080415 | | |
| EP 1768982 | A2 | 20070404 | EP 2005-768263 | 20050629 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 2008505088 | T | 20080221 | JP 2007-519346 | 20050629 |
| MX 2006PA15237 | A | 20071210 | MX 2006-PA15237 | 20061220 |
| PRIORITY APPLN. INFO.: | | | US 2004-583682P | P 20040629 |
| | | | WO 2005-US22836 | W 20050629 |
| OTHER SOURCE(S): | | | CASREACT 144:108353; MARPAT 144:108353 | |
| GI | | | | |



AB Pyrrolo[2,3-d]pyrimidines (shown as I; variables defined below; e.g. (5,6-Diphenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)[2-(morpholin-4-yl)ethyl]amine (shown as II)) that modulate the action of ACK1 and LCK, and related compns. methods for treating ACK1- and LCK-mediated diseases like cancer are described. Activities against ACK1 and LCK are tabulated for .apprx.40 examples of I. For I: R1 is OR5, -SR5, or NHR5; R2 and R3 independently are

(un)substituted aryl, (un)substituted heteroaryl, (un)substituted cycloalkyl, (un)substituted cycloheteroalkyl, (un)substituted arylalkyl, (heteroaryl)alkyl, substituted (heteroaryl)alkyl, (cycloalkyl)alkyl, substituted (cycloalkyl)alkyl, (cycloheteroalkyl)alkyl, or substituted (cycloheteroalkyl)alkyl; R4 is H, (un)substituted alkyl, (un)substituted alkylcarbonyl, (un)substituted arylcarbonyl, (un)substituted arylalkylcarbonyl, (un)substituted alkylsulfonyl, (un)substituted arylsulfonyl, (un)substituted arylalkylsulfonyl, (un)substituted trialkylsilyl, (un)substituted triarylalkylsilyl, formyl, (un)substituted diarylthiophosphinyl; and R5 is a (cycloheteroalkyl)alkyl or substituted (cycloheteroalkyl)alkyl moiety, wherein the cycloheteroalkyl portion of said moiety is a saturated ring. Although the methods of preparation are not claimed, preps. and/or characterization data for .apprx.40 examples of I are included. For example, II was prepared in 4 steps starting with preparation of 2-amino-1-(2,4-dimethoxybenzyl)-4,5-diphenyl-1H-pyrrole-3-carbonitrile from benzoin, 2,4-dimethoxybenzylamine, and malononitrile and involving [7-(2,4-dimethoxybenzyl)-5,6-diphenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amine [7-(2,4-dimethoxybenzyl)-5,6-diphenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl][2-(morpholin-4-yl)ethyl]amine and addnl. intermediates.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1123755 CAPLUS Full-text

DOCUMENT NUMBER: 143:405798

TITLE: Preparation of 3,3-diphenyl-indol-2-one derivatives as anticancer agents

INVENTOR(S): Felding, Jakob; Pedersen, Hans Christian; Krog-Jensen, Christian; Praestegaard, Morten; Butcher, Steven Peter; Linde, Viggo; Coulter, Thomas Stephen; Montalbetti, Christian; Uddin, Mohammed; Reignier, Serge

PATENT ASSIGNEE(S): Biolmage A/S, Den.

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

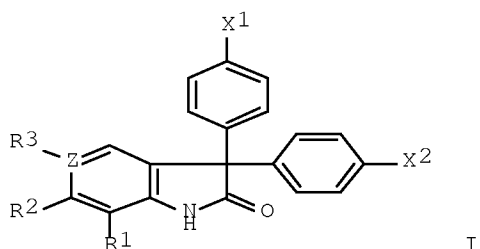
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2005097107 | A2 | 20051020 | WO 2005-DK244 | 20050408 |
| WO 2005097107 | A3 | 20060330 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SZ, BE, CY, FR, GR, IE, IT, MC, NL, SI, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2005230232 | A1 | 20051020 | AU 2005-230232 | 20050408 |
| CA 2562399 | A1 | 20051020 | CA 2005-2562399 | 20050408 |
| EP 1734951 | A2 | 20061227 | EP 2005-715161 | 20050408 |

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
HR, LV, MK, YU

| | | | | |
|------------------------|----|----------|------------------|------------|
| CN 1953747 | A | 20070425 | CN 2005-80010250 | 20050408 |
| BR 2005009745 | A | 20070925 | BR 2005-9745 | 20050408 |
| JP 2007532496 | T | 20071115 | JP 2007-506660 | 20050408 |
| MX 2006PA10822 | A | 20061120 | MX 2006-PA10822 | 20060921 |
| IN 2006KN03070 | A | 20070608 | IN 2006-KN3070 | 20061023 |
| NO 2006005034 | A | 20061102 | NO 2006-5034 | 20061102 |
| KR 2006130781 | A | 20061219 | KR 2006-723439 | 20061108 |
| US 20070299102 | A1 | 20071227 | US 2007-599121 | 20070601 |
| PRIORITY APPLN. INFO.: | | | DK 2004-576 | A 20040408 |
| | | | DK 2004-693 | A 20040501 |
| | | | DK 2004-1153 | A 20040727 |
| | | | DK 2004-1216 | A 20040811 |
| | | | WO 2005-DK244 | W 20050408 |

OTHER SOURCE(S): MARPAT 143:405798
GI



AB Title compds. represented by the formula I [R1 = H, halo, alkyl, etc.; R2 = H, halo, (un)substituted aryl, etc.; R3 = H, (un)substituted alkoxy, halo, etc.; Z = CH or N; X1, X2 = independently halo, amino, aminosulfonylalkyl, etc.; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as anticancer agents. For example, 6-chloro-3,3-bis(4-hydroxyphenyl)-7-methyl-1,3-dihydro-indol-2-one (II) was provided in a multi-step synthesis starting from 2-methyl-3-chloroaniline. I showed inhibition of proliferation of MDA-468 human breast cancer cells at lower concns., and II was tested in protein synthesis, translation control, PC3M human prostate cancer cell and etc. Thus, I and their pharmaceutical compns. are useful for the treatment of cancers in which inhibition of protein synthesis and/or inhibition of activation of the mTOR pathway is an effective method for reducing cell growth, such as human breast cancer and prostate cancer.

L5 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:902361 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 141:395802

TITLE: Preparation of substituted phenylalkanoic acids, including amino acid derivatives

INVENTOR(S): Van Zandt, Michael C.; Fang, Haiquan; Hu, Shaojing; Whitehouse, Darren

PATENT ASSIGNEE(S): The Institutes for Pharmaceutical Discovery, LLC, USA

SOURCE: PCT Int. Appl., 131 pp.

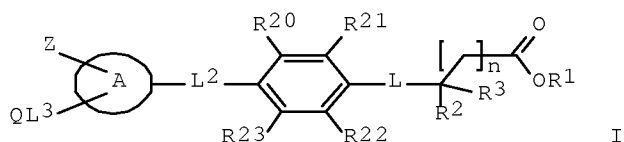
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2004092146 | A2 | 20041028 | WO 2004-US11650 | 20040414 |
| WO 2004092146 | A3 | 20041229 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004231106 | A1 | 20041028 | AU 2004-231106 | 20040414 |
| CA 2522080 | A1 | 20041028 | CA 2004-2522080 | 20040414 |
| US 20040248937 | A1 | 20041209 | US 2004-824057 | 20040414 |
| EP 1633354 | A2 | 20060315 | EP 2004-750170 | 20040414 |
| EP 1633354 | B1 | 20080123 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| BR 2004009447 | A | 20060418 | BR 2004-9447 | 20040414 |
| CN 1794989 | A | 20060628 | CN 2004-80014576 | 20040414 |
| JP 2006524248 | T | 20061026 | JP 2006-510073 | 20040414 |
| AT 384526 | T | 20080215 | AT 2004-750170 | 20040414 |
| NO 2005004769 | A | 20060103 | NO 2005-4769 | 20051017 |
| IN 2005KN02090 | A | 20061117 | IN 2005-KN2090 | 20051024 |
| PRIORITY APPLN. INFO.: | | | US 2003-463102P | P 20030414 |
| | | | WO 2004-US11650 | W 20040414 |

OTHER SOURCE(S): MARPAT 141:395802
 GI



AB The invention relates to compds. I [n is 0-3; R1 is H, alkyl, phenylalkyl or alkenyl; R2 is Ph, phenylalkyl, alkyl, carbamoylalkyl, alkylsulfonylalkyl, heterocycloalkyl, etc.; R3 is H or CO2R1; R20-R23 are independently H, arylalkoxy, arylalkyl, halo, alkyl, OH, alkoxy, NO2, NH2, alkylamino, etc.; L is SO2NH, sulfonyl(alkylimino), NHSO2, O, CONH, carbonyl(alkylimino), SO2, carbonylalkylene, alkylencarbonyl, NH or alkylimino (the alkyl group are optionally substituted with Ph or substituted phenyl); L2 is a bond, CONR9, NR9CO, alkylene-CONR9, NR9, etc. (R9 is H or alkyl optionally substituted with CO2H, arylsulfonyl or arylalkyl); ring A is (un)substituted Ph, naphthyl, thiazolyl, pyrazolyl, furanyl, dihydropyrazolyl, benzofuranyl, dibenzofuranyl, pyrimidyl, pyridyl, quinolinyl, naphthyl, quinazolinyl, benzo[b]thiophene, imidazolyl, isothiazolyl, pyrrolyl, oxazolyl or triazolyl; Q is H, aryl,

arylcarbonylaryl, alkyl, halo, etc.; L3 is a bond, alkyleneoxy, oxyalkylene, alkylene, alkenylene or CO; Z is absent, H, aroylamino, (un)substituted Ph or cycloalkylcycloalkanoyl(alkyl)amino] and their pharmaceutically-acceptable salts, which are useful in the treatment of metabolic disorders related to insulin resistance or hyperglycemia. These compds. include inhibitors of protein tyrosine phosphatase (PTP-1B) that are useful in the treatment of diabetes and other PTP-1B mediated diseases such as cancer and neurodegenerative diseases. Thus, 2-[4-[4-(4-chlorophenyl)-5-(4-ethylphenyl)thiazol-2-yl]carbonyl]benzenesulfonylamino]-3-phenylpropionic acid was prepared by cyclocondensation of 4-ClC₆H₄COCH₂C₆H₄Et-4 (preparation given) with thiourea, acylation with 4-ClSO₂C₆H₄CO₂H, and coupling with phenylalanine tert-Bu ester hydrochloride. The product was shown to increase the glucose infusion rate in rats at 30 mg/kg.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:822748 CAPLUS Full-text

DOCUMENT NUMBER: 141:332066

TITLE: Preparation of 4-phenyltetrahydroisoquinolines as NHE-3 sodium-proton exchanger inhibitors

INVENTOR(S): Hofmeister, Armin; Heinelt, Uwe; Lang, Hans-Jochen; Frick, Wendelin; Bleich, Markus; Wirth, Klaus

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: Ger. Offen., 49 pp.

CODEN: GWXXBX

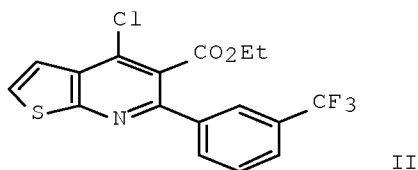
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| DE 10312963 | A1 | 20041007 | DE 2003-10312963 | 20030324 |
| AU 2004224242 | A1 | 20041007 | AU 2004-224242 | 20040311 |
| CA 2519658 | A1 | 20041007 | CA 2004-2519658 | 20040311 |
| WO 2004085404 | A1 | 20041007 | WO 2004-EP2497 | 20040311 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1613600 | A1 | 20060111 | EP 2004-719379 | 20040311 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| BR 2004008744 | A | 20060418 | BR 2004-8744 | 20040311 |
| CN 1798737 | A | 20060705 | CN 2004-80007871 | 20040311 |
| CN 100364978 | C | 20080130 | | |
| JP 2006521306 | T | 20060921 | JP 2006-504636 | 20040311 |
| RU 2343147 | C2 | 20090110 | RU 2006-127170 | 20040311 |
| US 20050009863 | A1 | 20050113 | US 2004-807781 | 20040324 |
| US 7241775 | B2 | 20070710 | | |
| IN 2005CN02376 | A | 20070831 | IN 2005-CN2376 | 20050923 |
| NO 2005004876 | A | 20051206 | NO 2005-4876 | 20051021 |



AB The title compds. [I; R1, R2 = H, alkyl, haloalkyl, etc.; R3 = H, alkyl, Ph, etc.; R4 = (un)substituted Ph, cycloheteroalkyl, heteroaryl, etc.], useful for the immunotherapeutic treatment of transplant rejection, autoimmune disease or graft vs. host disease, were prepared Thus, reacting II with (4-fluorophenyl)hydrazine.HCl afforded I [R1, R2 = H; R3 = 4-FC6H4; R4 = 3-F3CC6H4] which showed IC50 of 600 nM in test for B7-1/CD28 binding inhibition. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:100816 CAPLUS Full-text

DOCUMENT NUMBER: 140:163860

TITLE: Preparation of dihydrodipyrazolopyridinylbenzamide and -sulfonamide inhibitors of B7-1

INVENTOR(S): Green, Neal Jeffrey; Xiang, Jason Shaoyun; Davies, Audrey Molina; Chen, Lihren

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

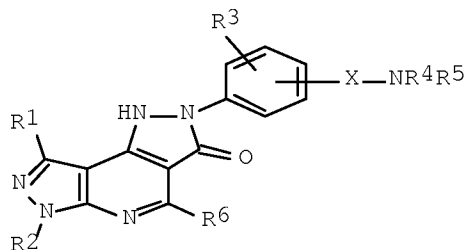
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

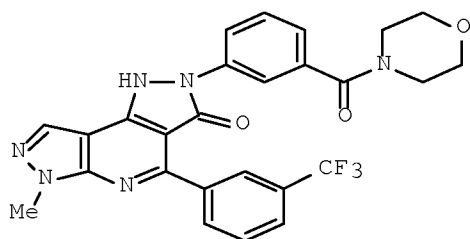
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|------------|
| US 20040024008 | A1 | 20040205 | US 2003-629276 | 20030728 |
| US 6734190 | B2 | 20040511 | | |
| PRIORITY APPLN. INFO.: | | | US 2002-399146P | P 20020729 |
| OTHER SOURCE(S): | MARPAT | 140:163860 | | |

GI



I



II

AB The title compds. [I; X = CO, SO₂; R₁, R₂ = H, alkyl, haloalkyl, cycloalkyl, etc.; R₃ = H, F, Cl, Br, I; R₄, R₅ = H, NH₂, (CH₂)₂O(CH₂)₂NH₂, etc.; or NR₄R₅ = (un)substituted 5-7 membered ring optionally containing one double bond, benzofused ring or an addnl. heteroatom selected from O, (un)substituted NH, S; R₆ = (un)substituted Ph, cycloheteroalkyl, heteroaryl], useful for the immunotherapeutic treatment of transplant rejection or autoimmune disease, were prepared E.g., a multi-step synthesis of II which showed IC₅₀ of 54 nM in test for B7-1/CD28 binding inhibition, was given. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:833088 CAPLUS Full-text

DOCUMENT NUMBER: 135:357927

TITLE: Preparation and pharmaceutical compositions of gastrin/cholecystokinin receptor ligands with proton pump inhibitors

INVENTOR(S): Kalindjian, Sarkis Barret; Black, James Whyte; Hull, Robert Antony David; Shankley, Nigel Paul; Mesens, Jean Louis; Andries, Luc Joseph

PATENT ASSIGNEE(S): James Black Foundation Limited, UK; Janssen Pharmaceutica N.V.

SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2

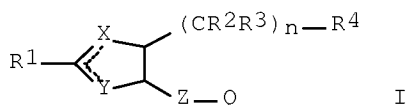
DOCUMENT TYPE: Patent

LANGUAGE: English

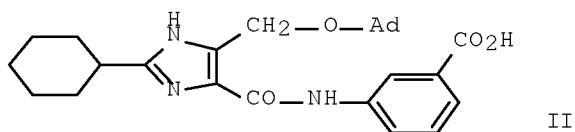
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|-------------------|-----------------|------------|
| WO 2001085167 | A1 | 20011115 | WO 2001-GB1963 | 20010504 |
| W: | | | | |
| AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: | | | | |
| GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| GB 2379387 | A | 20030312 | GB 2002-25706 | 20010504 |
| GB 2379387 | B | 20041006 | | |
| US 20030195240 | A1 | 20031016 | US 2003-275624 | 20030414 |
| PRIORITY APPLN. INFO.: | | | GB 2000-11098 | A 20000508 |
| | | | WO 2001-GB1963 | W 20010504 |
| OTHER SOURCE(S): | | MARPAT 135:357927 | | |
| GI | | | | |



I



II

AB Pharmaceutical compns. comprising a proton pump inhibitor and a gastrin/cholecystokinin receptor ligand I [wherein X and Y = independently :N, N(R5), :CH, S, or O; R5 = H, Me, Et, Pr, CH2Ph, OH, or CH2CO2R6; R6, R7, and R8 = independently H, Me, Et, Pr, or CH2Ph; n = 1-4; R1 = H or C1-C15 hydrocarbyl wherein ≤ 3 C atoms may be replaced by N, O, and/or S and ≤ 3 H atoms may be replaced by halo; each R2 = independently H, Me, Et, Pr, or OH; R3 = H, Me, Et, or Pr; or 2 R3 groups on adjacent C atoms may form a carbocyclic ring or double bond; or R2 and R3 on the same C atom may represent :O; R4 = C1-C15 hydrocarbyl wherein ≤ 2 C atoms may be replaced by N, O, and/or S and ≤ 2 H atoms may be replaced by halo; Z = (NR7)aCO(NR8)b, CONR7CH2CONR8, CO2, CH2CH2, CH:CH, CH2NR8, or a bond; a = 0-1; b = 0-1; Q = R9V; R9 CH2, CH2CH2, (un)substituted CHPh, etc.; V = CONHSO2Ph, SO2NHCOPh, CH2OH, etc. or its pharmaceutically acceptable salts] were prepared for treating gastrointestinal disorders. Examples include the synthesis of twenty-three adamantyl-substituted imidazoles, thiazoles, and pyrroles and their salts as gastrin/cholecystokinin (CCK) receptor ligands and one study on their effects on hyperplasia when co-administered with a proton pump inhibitor. Thus, treatment of 4-(adamantan-1-yloxymethyl)-2,3-dioxobutyric acid benzyl ester (3-step preparation given) with ammonium acetate and cyclohexanecarboxaldehyde in AcOH gave 5-(adamantan-1-yloxymethyl)-2-cyclohexyl-1H-imidazole-4-carboxylic acid benzyl ester (49%). Deprotection of the butyrate (96%), followed by amidation with 3-aminobenzoic acid benzyl ester (65.5%) and deprotection of the benzoate (98%), afforded II. In male SPF Wistar rats, co-administration of II and rabeprazole reduced fundic ECL cell hyperplasia (P = 0.07) compared to administration of rabeprazole alone.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:304315 CAPLUS Full-text

DOCUMENT NUMBER: 132:321870

TITLE: Preparation of pyridopyrimidinones, pyrimidopyrimidinones, pyrimidotriazinones, and related compounds for the treatment of precancerous lesions.

INVENTOR(S): Piazza, Gary A.; Pamukcu, Rifat

PATENT ASSIGNEE(S): Cell Pathways, Inc., USA

SOURCE: U.S., 19 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

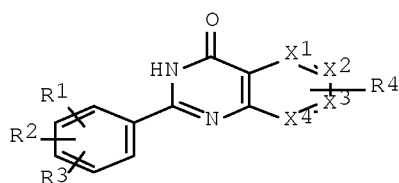
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

| | | | | |
|------------------------|-------------------|----------|----------------|-------------|
| ----- | ----- | ----- | ----- | ----- |
| US 6060477 | A | 20000509 | US 1995-484002 | 19950607 |
| US 6391885 | B1 | 20020521 | US 2000-564196 | 20000504 |
| PRIORITY APPLN. INFO.: | | | US 1995-484002 | A3 19950607 |
| OTHER SOURCE(S): | MARPAT 132:321870 | | | |
| GI | | | | |



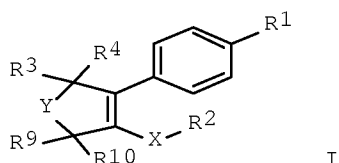
AB A method for treating precancerous lesions comprises administration of title compds. [I; R1-R3 = H, halo, alkyl, alkoxy, alkenyl, alkenyloxy, alkylthio, alkylamino, cyano, acylamino, etc.; R4 = H, alkyl, alkoxy, Ph, OH, halo, acylamino, aminoacyl, 5-tetrazolyl, cyano, etc.; X1-X4 = N, C; ≥1 of X1-X4 = N, ≥1 of X1-X4 = C] (no data). Thus, 2-propoxybenzoyl chloride in MeCN was added to a mixture of 2-aminonicotinamide and Et3N in MeCN at 0° followed by 1.5 h stirring and standing overnight to give 2-(2-propoxybenzamido)nicotinamide. This was refluxed 30 min. with pyridine in aqueous NaOH to give 2-(2-propoxyphenyl)pyrido[2,3-d]pyrimid-4(3H)-one. A capsule formulation containing the latter is given.

REFERENCE COUNT: 126 THERE ARE 126 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L5 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2000:83114 CAPLUS Full-text
 DOCUMENT NUMBER: 132:122509
 TITLE: Preparation of (methylsulfonyl)phenyl-2-(5H)-furanones as COX-2 inhibitors
 INVENTOR(S): Belley, Michel; Gauthier, Jacques Yves; Grimm, Erich; Leblanc, Yves; Li, Chun-sing; Therien, Michel; Black, Cameron; Prasit, Petpiboon; Lau, Cheuk-kun; Roy, Patrick
 PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
 SOURCE: U.S., 88 pp., Cont.-in-part of U.S. Ser. No. 728,512, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|-------|----------|-----------------|----------|
| ----- | ----- | ----- | ----- | ----- |
| US 6020343 | A | 20000201 | US 1998-97543 | 19980615 |
| NZ 332820 | A | 20000526 | NZ 1996-332820 | 19961009 |
| ZA 9608609 | A | 19970414 | ZA 1996-8609 | 19961011 |
| US 6169188 | B1 | 20010102 | US 1999-422151 | 19991021 |

JP 2001199954 A 20010724 JP 2000-366579 20001201
 JP 4068802 B2 20080326
 PRIORITY APPLN. INFO.:
 US 1995-5371P P 19951013
 US 1996-11637P P 19960214
 US 1996-728512 B2 19961009
 GB 1996-2939 A 19960213
 GB 1996-5645 A 19960318
 JP 1997-515371 A3 19961009
 NZ 1996-319090 A1 19961009
 US 1998-97543 A3 19980615
 OTHER SOURCE(S): MARPAT 132:122509
 GI



AB The title compds. [I; X = CH₂, CHOH, CO, etc.; Y = O, S, CO, etc.; R₁ = SO₂Me, SO₂NHCOCF₃, SONHNH₂, etc.; R₂ = alkyl, (un)substituted Ph, naphthyl, etc.; R₃ = H, alkyl, CN, etc.; R₄ = H, alkyl, alkoxy, etc.; R₉, R₁₀ = H, alkyl; R₉ and R₁₀ together with the carbon atom to which they are attached form a carbonyl or thiocarbonyl group], useful in the treatment of cyclooxygenase-2 mediated diseases such as inflammation, arthritis, osteoporosis, rheumatoid arthritis, and pain, were prepared E.g., a 4-step synthesis of I [X = O; Y = O; R₁ = SO₂Me; R₂ = 3,4-F₂C₆H₃; R₃ = R₄ = Me; R₉ and R₁₀ together with the carbon atom to which they are attached form a carbonyl group] which showed ED₅₀ of 0.14 mg/kg in rat paw edema assay, was given.

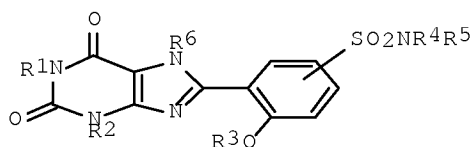
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1999:784100 CAPLUS Full-text
 DOCUMENT NUMBER: 132:12326
 TITLE: Preparation of 8-phenylxanthine derivatives and their use as phosphodiesterase 5 inhibitors
 INVENTOR(S): Vega Noverola, Armando; Gracia Ferrer, Jordi; Feixas Gras, Joan; Prieto Soto, Jose Manuel
 PATENT ASSIGNEE(S): Almirall Prodesfarma, S.A., Spain
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 9962905 | A1 | 19991209 | WO 1999-EP3644 | 19990526 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, | | | | |

MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
 TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9945011 A 19991220 AU 1999-45011 19990526
 PRIORITY APPLN. INFO.: ES 1998-1152 A 19980603
 WO 1999-EP3644 W 19990526
 OTHER SOURCE(S): MARPAT 132:12326
 GI



I

AB 8-Phenylxanthines I [R1, R2, R3 = H, alkenyl, alkynyl, cycloalkyl, alkylcarbamoyl, alkyl, etc.; R4R5N = 3 to 7-membered ring comprising a total of from 1 to 4 heteroatoms selected from nitrogen, oxygen and sulfur; R4 = alkenyl, alkynyl, cycloalkyl, mono- or dialkylamino, alkylcarbamoyl, aminocarboiminoyl, alkyl group; R5 = (CH2)nR7 wherein n = 0-4 and R7 represents a 3 to 7-membered ring comprising from 1 to 4 heteroatoms selected from nitrogen, oxygen and sulfur; R6 = H, alkyl group; SO2NR4R5 group is in the 4 or 5 position on the Ph group], useful as phosphodiesterase 5 inhibitors, were prepared E.g., 3-benzyl-1-methyl-8-[5-morpholine-4-sulfonyl]-2-propoxyphenyl]-3,7- dihydropurine-2,6-dione was prepared

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:718982 CAPLUS Full-text

DOCUMENT NUMBER: 131:322532

TITLE: Preparation of 4-aryl-(5H)-furan-2-ones as cyclooxygenase-2 inhibitors.

INVENTOR(S): Belley, Michel; Gauthier, Jacques Yves; Grimm, Erich; Leblanc, Yves; Li, Chun-Sing; Therien, Michel; Black, Cameron; Prasit, Petpiboon; Lau, Cheuk-Kun; Roy, Patrick

PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.

SOURCE: U.S., 74 pp., Cont.-in-part of U.S. Ser. No. 728,512, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 5981576 | A | 19991109 | US 1998-97537 | 19980615 |
| NZ 332820 | A | 20000526 | NZ 1996-332820 | 19961009 |
| ZA 9608609 | A | 19970414 | ZA 1996-8609 | 19961011 |

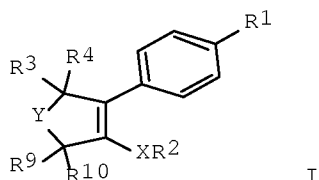
| | | | | |
|---------------|----|----------|----------------|----------|
| JP 2001199954 | A | 20010724 | JP 2000-366579 | 20001201 |
| JP 4068802 | B2 | 20080326 | | |

PRIORITY APPLN. INFO.:

| | | |
|----------------|----|----------|
| US 1995-5371P | P | 19951013 |
| US 1996-11637P | P | 19960214 |
| US 1996-728512 | B2 | 19961009 |
| GB 1996-2939 | A | 19960213 |
| GB 1996-5645 | A | 19960318 |
| JP 1997-515371 | A3 | 19961009 |
| NZ 1996-319090 | A1 | 19961009 |

OTHER SOURCE(S): MARPAT 131:322532

GI



AB Title compds. [I; X = CH₂, CH(OH), CO, O, S, NR₁₅; Y = CO, O, S, CR₁₁R₁₂; R₁ = SO₂Me, SO₂NR₁₆R₁₇, SO₂NHCOCF₃, etc.; R₂ = alkyl, (substituted) Ph, naphthyl, heteroaryl, benzoheterocyclyl, heterocyclylalkyl, benzocarbocyclyl, etc.; R₃ = H, alkyl, CH₂OR₇, cyano, CH₂CN, (substituted) Ph, etc.; R₄ = H, alkyl, alkoxy, alkylthio, OH, SH, OCOR₇, etc.; R₃R₄ = atoms to form a 3-7 membered ring; R₇ = H, alkyl, (substituted) Ph, PhCH₂; R₉, R₁₀ = H, alkyl; R₉R₁₀ = O, S; R₁₆, R₁₇ = H, alkyl, alkanolic acid, alkyl amine, etc.; with provisos], were prepared. Thus, cyclopropanemethanol in THF was added to NaH in THF at 12° over 75 min. followed by 18 h stirring at room temperature; ClCH₂CO₂Na was added followed by 8.5 h reflux to give an oil. This was refluxed with 2-bromo-2-methyl-1-[(4-methylsulfonyl)phenyl]propan-1-one (preparation given) and ethyldiisopropylamine in EtOH to give cyclopropylmethoxyacetic acid 2-methyl-1-[(4-methylsulfonyl)phenyl]propan-1-one ester. The latter was refluxed with iso-Pr trifluoroacetate and DBU in MeCN to give 3-(cyclopropylmethoxy)-5,5-dimethyl-4-[(4-methylsulfonyl)phenyl]-5H-furan- 2-one. I inhibited rat paw edema with ED₅₀ = 0.32-10 mg/kg orally.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:696748 CAPLUS Full-text

DOCUMENT NUMBER: 127:358861

ORIGINAL REFERENCE NO.: 127:70254h,70255a

TITLE: Substituted benzenesulfonamide derivatives as prodrugs of COX-2 inhibitors

INVENTOR(S): Talley, John J.; Malecha, James W.; Bertenshaw, Stephen; Graneto, Matthew J.; Carter, Jeffery S.; Li, Jinglin; Nagarajan, Srinivasan; Brown, David L.; et al.

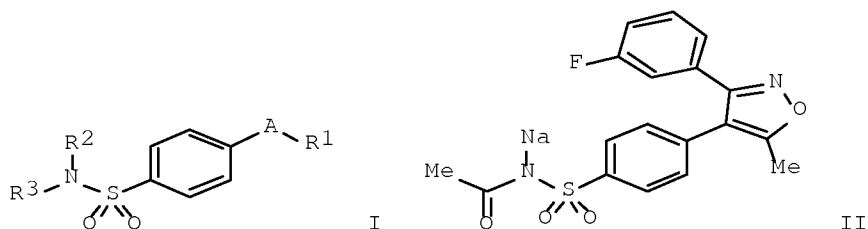
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Talley, John J.; Malecha, James W.; Bertenshaw, Stephen; Graneto, Matthew J.; Carter, Jeffery S.; Li, Jinglin

SOURCE: PCT Int. Appl., 184 pp.

DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: English
 PATENT INFORMATION: 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| WO 9738986 | A1 | 19971023 | WO 1997-US5497 | 19970411 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2249009 | A1 | 19971023 | CA 1997-2249009 | 19970411 |
| CA 2249009 | C | 20030916 | | |
| AU 9727227 | A | 19971107 | AU 1997-27227 | 19970411 |
| AU 734275 | B2 | 20010607 | | |
| EP 892791 | A1 | 19990127 | EP 1997-921092 | 19970411 |
| EP 892791 | B1 | 20030305 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| CN 1216043 | A | 19990505 | CN 1997-193747 | 19970411 |
| CN 1098256 | C | 20030108 | | |
| BR 9708574 | A | 19990803 | BR 1997-8574 | 19970411 |
| HU 9901807 | A2 | 19990928 | HU 1999-1807 | 19970411 |
| HU 9901807 | A3 | 20000828 | | |
| HU 225473 | B1 | 20061228 | | |
| JP 2000509029 | T | 20000718 | JP 1997-537139 | 19970411 |
| JP 3382624 | B2 | 20030304 | | |
| AP 1009 | A | 20010921 | AP 1998-1355 | 19970411 |
| W: GM, GH, KE, LS, MW, SD, SZ, UG, ZW | | | | |
| EE 3685 | B1 | 20020415 | EE 1998-351 | 19970411 |
| EP 1288206 | A1 | 20030305 | EP 2002-25005 | 19970411 |
| EP 1288206 | B1 | 20080917 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| AT 233743 | T | 20030315 | AT 1997-921092 | 19970411 |
| PT 892791 | T | 20030630 | PT 1997-921092 | 19970411 |
| IL 125849 | A | 20031031 | IL 1997-125849 | 19970411 |
| ES 2194195 | T3 | 20031116 | ES 1997-921092 | 19970411 |
| SK 285353 | B6 | 20061103 | SK 1998-1242 | 19970411 |
| CZ 297430 | B6 | 20061213 | CZ 1998-2710 | 19970411 |
| RO 121338 | B1 | 20070330 | RO 1998-1469 | 19970411 |
| PL 195955 | B1 | 20071130 | PL 1997-329276 | 19970411 |
| AT 408607 | T | 20081015 | AT 2002-25005 | 19970411 |
| ZA 9703146 | A | 19980414 | ZA 1997-3146 | 19970414 |
| TW 585857 | B | 20040501 | TW 1997-86107093 | 19970526 |
| US 5932598 | A | 19990803 | US 1998-5610 | 19980112 |
| NO 9804727 | A | 19981214 | NO 1998-4727 | 19981009 |
| NO 314184 | B1 | 20030210 | | |
| LT 4586 | B | 19991227 | LT 1998-142 | 19981009 |
| LV 12239 | B | 19990820 | LV 1998-215 | 19981012 |
| KR 2000005395 | A | 20000125 | KR 1998-708126 | 19981012 |
| BG 64531 | B1 | 20050630 | BG 1998-102916 | 19981112 |
| BG 109057 | A | 20051031 | BG 1998-109057 | 19981112 |
| HK 1019741 | A1 | 20030502 | HK 1999-104900 | 19991101 |
| US 6436967 | B1 | 20020820 | US 2000-661859 | 20000914 |
| AU 762721 | B2 | 20030703 | AU 2001-35099 | 20010410 |

| | | | | |
|------------------------|----|----------|-------------------|-------------|
| US 20030069287 | A1 | 20030410 | US 2002-178697 | 20020624 |
| US 6815460 | B2 | 20041109 | | |
| JP 2003160554 | A | 20030603 | JP 2002-258955 | 20020904 |
| JP 4049307 | B2 | 20080220 | | |
| AU 2003252266 | A1 | 20031106 | AU 2003-252266 | 20031002 |
| AU 2003252266 | B2 | 20050915 | | |
| US 20050032851 | A1 | 20050210 | US 2004-939852 | 20040913 |
| US 7420061 | B2 | 20080902 | | |
| PRIORITY APPLN. INFO.: | | | US 1996-631514 | A2 19960412 |
| | | | AU 1997-27227 | A3 19970411 |
| | | | JP 1997-537139 | A3 19970411 |
| | | | WO 1997-US5497 | W 19970411 |
| | | | EP 1997-921092 | A3 19971023 |
| | | | US 1999-142993 | B1 19990318 |
| | | | US 2000-661859 | A3 20000914 |
| | | | AU 2001-35099 | A 20010410 |
| | | | US 2002-178697 | A3 20020624 |
| OTHER SOURCE(S): | | | MARPAT 127:358861 | |
| GI | | | | |



AB Prodrugs of COX-2 inhibitors, of formula I or their pharmaceutically acceptable salts, are useful in treating inflammation and inflammation-related disorders [wherein A = (un)substituted partially unsatd. heterocycllyl, heteroaryl, cycloalkenyl or aryl; R1 = (un)substituted heterocycllyl, cycloalkyl, cycloalkenyl, or aryl; R2 = H, alkoxy-carbonylalkyl; R3 = alkyl, carboxyalkyl, acyl, alkoxy-carbonyl, heteroarylcarbonyl, alkoxy-carbonylalkylcarbonyl, alkoxy-carbonylcarbonyl, amino acid residue, or alkylcarbonylaminoalkylcarbonyl; provided A ≠ tetrazolium or pyridinium, and A ≠ indanone when R3 = alkyl or carboxyalkyl]. Prepns. of over 80 compds. are described. For instance, 4-[5-methyl-3-(3-fluorophenyl)isoxazol-4-yl]benzenesulfonamide underwent N-acetylation with Ac2O, Et3N, and DMAP in THF (81%), and salification with NaOH in EtOH (97%), to give title salt II. At 30 mg/kg orally in the rat paw edema test, II gave 65% inhibition. Analgesic activity in rats, and a metabolism assay with S9 liver fractions, are also described for 3 selected compds.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:425272 CAPLUS [Full-text](#)

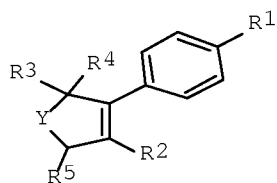
DOCUMENT NUMBER: 127:34112

ORIGINAL REFERENCE NO.: 127:6575a,6578a

TITLE: Preparation of 3,4-diaryl-2-hydroxy-2,5-dihydrofurans as prodrugs to cyclooxygenase-2 (cox-2) inhibitors and as non-steroidal anti-inflammatory agents

INVENTOR(S): Black, Cameron; Leger, Serge; Prasit, Petpiboon; Wang, Zhaoyin; Hamel, Pierre; Han, Yongxin; Hughes, Gregory
 PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.
 SOURCE: PCT Int. Appl., 213 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 9716435 | A1 | 19970509 | WO 1996-CA717 | 19961029 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 5698584 | A | 19971216 | US 1996-738143 | 19961025 |
| CA 2234642 | A1 | 19970509 | CA 1996-2234642 | 19961029 |
| CA 2234642 | C | 20050726 | | |
| AU 9672736 | A | 19970522 | AU 1996-72736 | 19961029 |
| AU 711902 | B2 | 19991021 | | |
| JP 11500748 | T | 19990119 | JP 1997-516943 | 19961029 |
| JP 3337477 | B2 | 20021021 | | |
| EP 904269 | A1 | 19990331 | EP 1996-934267 | 19961029 |
| EP 904269 | B1 | 20020123 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, LI, LU, NL, SE, PT, FI | | | | |
| AT 212343 | T | 20020215 | AT 1996-934267 | 19961029 |
| ES 2171723 | T3 | 20020916 | ES 1996-934267 | 19961029 |
| US 6057319 | A | 20000502 | US 1998-68139 | 19981002 |
| PRIORITY APPLN. INFO.: | | | US 1995-8074P | P 19951030 |
| | | | GB 1996-2877 | A 19960213 |
| | | | WO 1996-CA717 | W 19961029 |
| OTHER SOURCE(S): | | | MARPAT 127:34112 | |
| GI | | | | |



I

AB The invention encompasses the novel compound of formula [I; Y = (un)substituted CH₂, O, S, CO; R₂ = SO₂Me, (un)substituted SO₂NH₂, SO₂NHCOCF₃, SONHNH₂, SONHNHCOCF₃, P(O)MeNH₂, P(O)Me₂, C(S)NH₂; R₂ = NR₁₀R₁₁, SR₁₁, OR₁₁, R₁₁, C1-10 alkenyl, C1-10 alkynyl, (un)substituted C3-10 cycloalkenyl; wherein R₁₁ = C1-10 alkyl, C3-10 cycloalkyl, (un)substituted Ph, naphthyl, or heteroaryl, etc.; R₃ = H, C1-10 alkyl, cyano, CH₂CN, C1-6 fluoroalkyl, F, CH₂OR₈, CON(R₈)₂; R₄ = H, C1-10 alkyl, C1-10 alkoxy, C1-10 alkylthio, OH, O₂CR₈, SH, SCOR₈, OCO₂R₈, O CON(R₈)₂, SCON(R₈)₂, C3-10 cycloalkoxy or cycloalkylthio; or CR₃R₄ = 3- to 7-membered monocyclic ring optionally

containing 1 or 2 heteroatoms selected from O, S, or N; wherein R8 = H, C1-10 alkyl, C1-10 alkyl-CO2H, C1-10 aminoalkyl, (un)substituted Ph or CH2Ph, C3-10 cycloalkyl, C1-10 alkanoyl, (un)substituted benzoyl; R5 = OR17, SR18, NR17R18, S(O)R18, SO2 R18, SO2N(R17)2, OP(O)(OR16)2; wherein R16 = H, C1-6 alkyl, (un)substituted CH2Ph; R17 = H, R18; R18 = C1-10 alkyl, C1-10 alkyl-CO2H, C1-10 aminoalkyl, (un)substituted Ph or CH2Ph, C3-10 cycloalkyl, (CH2CH2O)nH (n = 1-6), C1-10 alkanoyl, (un)substituted benzoyl]. They are in vivo converted into the active lactone form, i.e. arylhydroxydihydrofuranone derivs. I (R5 = oxo; Y, R1 - R4 = same as above) with high inhibitory activity against cyclooxygenase-2 and/or a specificity for cyclooxygenase-2 over cyclooxygenase-1 and useful in the treatment of cyclooxygenase-2 mediated diseases, in particular inflammatory diseases. Thus, 3,4-difluorophenoxyacetic acid was cyclocondensed with 2-hydroxy-4'-(methylsulfonyl)isobutyrophenone (preparation given) using 1-cyclohexyl-3-(2-morpholinoethyl)carbodiimide metho-p-toluenesulfonate and 4-dimethylaminopyridine in CH2Cl2 at room temperature for 18 h to give 3-(3,4-difluorophenoxy)-5,5-dimethyl-4-(4-methylsulfonylphenyl)-5H-furan-2-one, which was reduced by (Me2CHCH2)2AlH in THF at room temperature for 30 min to give I (Y = O, R2 = 3,4-difluorophenoxy, R3 = R4 = Me, R5 = OH). The latter compound showed ED50 of 0.09 mg/kg p.o. for inhibiting the carrageenan-induced paw edema in rats.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:746196 CAPLUS Full-text
DOCUMENT NUMBER: 126:18871
ORIGINAL REFERENCE NO.: 126:3921a,3924a
TITLE: 1-Phenylpyrazole-3-carboxamides acting on neurotensin receptors
INVENTOR(S): Labeeuw, Bernard; Gully, Danielle; Jeanjean, Francis; Molimard, Jean-Charles; Boigegrain, Robert
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|------------------|----------|
| WO 9632382 | A1 | 19961017 | WO 1996-FR546 | 19960411 |
| W: | AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | |
| RW: | KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML | | | |
| FR 2732967 | A1 | 19961018 | FR 1995-4350 | 19950411 |
| FR 2732967 | B1 | 19970704 | | |
| US 5723483 | A | 19980303 | US 1996-630761 | 19960410 |
| TW 411332 | B | 20001111 | TW 1996-85104264 | 19960410 |
| IN 185700 | A1 | 20010407 | IN 1996-DE779 | 19960410 |
| ZA 9602886 | A | 19961015 | ZA 1996-2886 | 19960411 |
| CA 2220827 | A1 | 19961017 | CA 1996-2220827 | 19960411 |
| AU 9656516 | A | 19961030 | AU 1996-56516 | 19960411 |
| AU 709119 | B2 | 19990819 | | |
| EP 820444 | A1 | 19980128 | EP 1996-913568 | 19960411 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | |

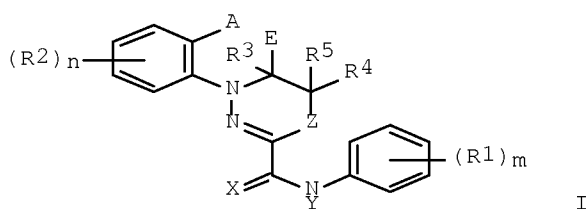
| | | | | |
|--|----|------------------|------------------|-------------|
| IE, SI, LT, LV, FI | | | | |
| CN 1184469 | A | 19980610 | CN 1996-193871 | 19960411 |
| CN 1072210 | C | 20011003 | | |
| HU 9801435 | A2 | 19981028 | HU 1998-1435 | 19960411 |
| HU 9801435 | A3 | 19981228 | | |
| JP 11504624 | T | 19990427 | JP 1996-530771 | 19960411 |
| JP 3061644 | B2 | 20000710 | | |
| NZ 307227 | A | 20000128 | NZ 1996-307227 | 19960411 |
| EP 1097921 | A1 | 20010509 | EP 2001-102661 | 19960411 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |
| IE, SI, LT, LV, FI | | | | |
| RU 2195455 | C2 | 20021227 | RU 1997-118680 | 19960411 |
| CZ 292255 | B6 | 20030813 | CZ 1997-3232 | 19960411 |
| PL 188077 | B1 | 20041231 | PL 1996-322723 | 19960411 |
| NO 9704702 | A | 19971210 | NO 1997-4702 | 19971010 |
| NO 310071 | B1 | 20010514 | | |
| US 5965579 | A | 19991012 | US 1997-976309 | 19971121 |
| US 5925661 | A | 19990720 | US 1997-976691 | 19971124 |
| US 5936123 | A | 19990810 | US 1997-976714 | 19971124 |
| US 5939449 | A | 19990817 | US 1997-977496 | 19971124 |
| US 6172239 | B1 | 20010109 | US 1999-374697 | 19990816 |
| IN 2000DE00017 | A | 20050311 | IN 2000-DE17 | 20000113 |
| PRIORITY APPLN. INFO.: | | | FR 1995-4350 | A 19950411 |
| | | | TW 1996-85104264 | A3 19960410 |
| | | | US 1996-630761 | A3 19960410 |
| | | | EP 1996-913568 | A3 19960411 |
| | | | WO 1996-FR546 | W 19960411 |
| | | | US 1997-977496 | A1 19971124 |
| OTHER SOURCE(S): | | MARPAT 126:18871 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted 1-phenylpyrazole-3-carboxamides I and their salts and solvates are disclosed [wherein R1 = -TCN, C(:NOH)NH2, TCONH2, SO2NH2, TNH2, their derivs., and many addnl. groups; R2, R3 = H, alkyl, cycloalkylmethyl, cycloalkyl, halo, NO2, CF3, OR4, NH2 and derivs., 1-pyrrolyl, cyano, CONH2; or R2R3 = (CH2)3-5; R4 = H, alkyl, alkenyl, cycloalkyl, cycloalkylmethyl, alkoxyalkyl, CH2Ph; T = bond, alkylene; NHAAOH = (un)natural α -amino acid residue]. I have high affinity for human neurotensin receptors (no data), and are useful for treating neuropsychiatric disorders such as schizophrenia and Parkinson's disease, for diagnosis or treatment of cancerous diseases, for treating gastrointestinal disorders, etc. For example, Me 1-(4-carboxy-2-isopropylphenyl)-5-(2,6-dimethoxyphenyl)pyrazole-3-carboxylate (preparation given) was treated with SOCl2 to give the 4-position acid chloride, which reacted with MeNH(CH2)3NMe2 and Et3N to give the corresponding amide. Alkaline hydrolysis of the pyrazole 3-position ester, conversion of the resultant acid to an acid chloride with SOCl2, and reaction of the acid chloride with 2-aminoadamantane-2-carboxylic acid [pre-silylated with bis(trimethylsilyl)acetamide] gave title compound II, obtained as the hydrochloride. In tests for antagonism of neurotensin-induced contralateral rotation in mice and hypertension in guinea pigs, I are said to be more active than compds. from EP 0477 049.

DOCUMENT NUMBER: 123:249211
ORIGINAL REFERENCE NO.: 123:44379a,44382a
TITLE: Arthropodicidal oxadiazine-, thiadiazine- or triazinecarboxanilides.
INVENTOR(S): Stevenson, Thomas Martin
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|-------------------|----------|-----------------|------------|
| WO 9518116 | A1 | 19950706 | WO 1994-US14241 | 19941221 |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN | | | | |
| RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9514336 | A | 19950717 | AU 1995-14336 | 19941221 |
| EP 737188 | A1 | 19961016 | EP 1995-905895 | 19941221 |
| EP 737188 | B1 | 20010606 | | |
| R: DE, ES, FR, IT | | | | |
| JP 09507081 | T | 19970715 | JP 1995-517669 | 19941221 |
| ES 2158077 | T3 | 20010901 | ES 1995-905895 | 19941221 |
| US 5728693 | A | 19980317 | US 1996-666499 | 19960625 |
| PRIORITY APPLN. INFO.: | | | US 1993-175843 | A 19931229 |
| | | | WO 1994-US14241 | W 19941221 |
| OTHER SOURCE(S): | MARPAT 123:249211 | | | |
| GI | | | | |



AB The title compds. I [A=H; E=H, alkyl; AE=(un)substituted CH₂,CH₂CH₂, etc.; X,X1=O or S; Y=(halo)alkyl, (halo)alkenyl, etc.; Z=O,S,SO,SO₂, (un)substituted NH; R1,R2=H, (halo)alkyl, (halo)alkenyl, (halo)alkynyl, etc.; R3=H, (halo)alkyl, (un)substituted heterocyclyl, etc.; R4,R5=H, alkyl; m,n=1,2 or 3] are arthropodicides. The preparation of I is outlined.

L5 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:731652 CAPLUS [Full-text](#)
DOCUMENT NUMBER: 123:131203
ORIGINAL REFERENCE NO.: 123:23002h,23003a
TITLE: Phosphorescent compounds for imaging tissue oxygen

INVENTOR(S): Vinogradov, Sergei; Wilson, David F.
 PATENT ASSIGNEE(S): University of Pennsylvania, USA
 SOURCE: PCT Int. Appl., 65 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 9510522 | A1 | 19950420 | WO 1994-US11695 | 19941014 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ | | | | |
| RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 6362175 | B1 | 20020326 | US 1993-137624 | 19931015 |
| AU 9479798 | A | 19950504 | AU 1994-79798 | 19941014 |
| AU 700941 | B2 | 19990114 | | |
| EP 723548 | A1 | 19960731 | EP 1994-930778 | 19941014 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE | | | | |
| PRIORITY APPLN. INFO.: | | | US 1993-137624 | A 19931015 |
| | | | US 1991-763184 | A2 19910920 |
| | | | US 1993-22190 | A2 19930225 |
| | | | WO 1994-US11695 | W 19941014 |

OTHER SOURCE(S): MARPAT 123:131203

AB Methods of preparation of compds. for the measurement in vivo of oxygen in living tissue are presented. The compds. preferably comprise a chromophore which is capable of absorbing an amount of energy and subsequently releasing the energy as phosphorescent light. In preferred embodiments, the chromophore has an absorption band which is at a wavelength in the near IR window of living tissue and the phosphorescence is quenched by mol. oxygen-according to the Stern-Volmer relation.

L5 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:334816 CAPLUS Full-text

DOCUMENT NUMBER: 120:334816

ORIGINAL REFERENCE NO.: 120:58661a, 58664a

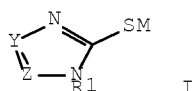
TITLE: Processing of silver halide black-and-white photographic material using halide-containing fixer to prevent Cl-induced stain

INVENTOR(S): Daimatsu, Hideki
 PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
 CODEN: JKXXAF

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-------------------|----------|
| JP 05323522 | A | 19931207 | JP 1992-127424 | 19920520 |
| PRIORITY APPLN. INFO.: | | | JP 1992-127424 | 19920520 |
| OTHER SOURCE(S): | | | MARPAT 120:334816 | |

GI



AB The claimed method for processing, with an automatic processor, black-and-white photog. materials which consists of Ag halide grains containing ≥ 80 mol% of AgCl and $\geq 10^{-6}$ mol/mol-Ag of Fe is characterized by utilizing a fixer containing 10^{-2} - 10^{-1} mol/L of Br⁻ and/or 5×10^{-4} - 5×10^{-2} mol/L of I⁻. It prevents non-uniform developed d. accompanied with high chloride emulsion. In the process, the developer contain a mercapto compound I (Y, Z = N, CR₂; R₂ = alkyl, aryl; R₁ = alkyl, aryl, heterocyclic substituted with SO₃M, CO₂M, OH, NHSO₂R₃, SO₂NR₃R₄, and/or NR₅CONR₃R₄; R₃₋₅ = H, C₁₋₄ alkyl; M = H, alkali metal, quaternary ammonium, phosphonium).

L5 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:21034 CAPLUS Full-text

DOCUMENT NUMBER: 116:21034

ORIGINAL REFERENCE NO.: 116:3715a, 3718a

TITLE: Preparation of phenanthroline and bipyridyl derivatives as electrochromic display element

INVENTOR(S): Shirai, Hirofusa; Koyama, Toshiki; Fukuzawa, Takahisa

PATENT ASSIGNEE(S): Sankyo Seiki Mfg. Co., Ltd., Japan

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|------------------|-----------------|------------|
| WO 9102723 | A1 | 19910307 | WO 1990-JP1070 | 19900822 |
| W: US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE | | | | |
| JP 03081264 | A | 19910405 | JP 1989-215019 | 19890823 |
| JP 04029220 | A | 19920131 | JP 1990-136100 | 19900525 |
| JP 2502399 | B2 | 19960529 | | |
| PRIORITY APPLN. INFO.: | | | JP 1989-215019 | A 19890823 |
| | | | JP 1990-136100 | A 19900525 |
| OTHER SOURCE(S): | | MARPAT 116:21034 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Phenanthroline and bipyridyl derivs. each having a substituent capable of undergoing electrolytic deposition or polymerization are prepared and manufactured into a film useful in producing electrochromic display elements. Na was heated and melted in anhydrous xylene with stirring to give a suspension, which was cooled rapidly, distilled and treated with amyl chloride

with stirring at -15° , a solution of I ($R = \text{Me}$) and $\text{Me}_2\text{NCH}_2\text{CH}_2\text{NMe}_2$ in C_6H_6 was added with stirring at $20-30^{\circ}$ under N to give the di-Na salt (I; $R = \text{CH}_2\text{Na}$), which was carboxylated and acidified to pH 4 to give diacetic acid I ($R = \text{CH}_2\text{CO}_2\text{H}$) (II). Excess NaClO_4 solution was added to a solution of II and $\text{FeCl}_2 \cdot 4\text{H}_2\text{O}$ in aqueous MeOH to give complex salt III, which was electrolyzed in MeCN with ITO and SCE electrodes at $5-10 \mu\text{A}/\text{cm}^2$ to give a film of IV which showed colorless-red electrochromism at various potentials by cyclic voltammetry.

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

STN INTERNATIONAL LOGOFF AT 09:36:45 ON 13 JAN 2009